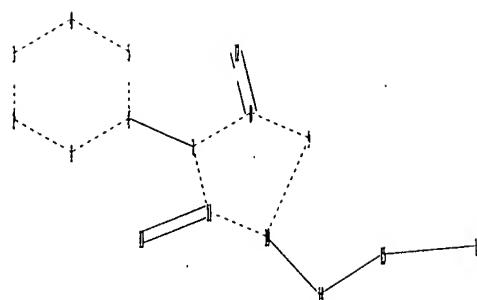
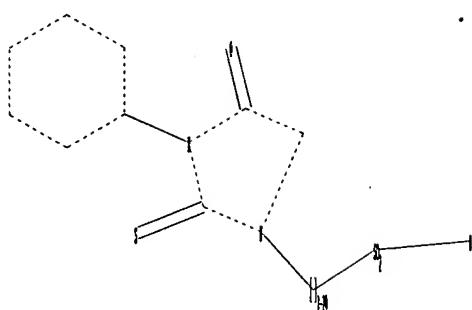


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	59	548/301.7	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11
L2	0	I1 and androgen	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:09
L3	82	548/318.5	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11
L4	24	I3 and androgen	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2007/01/06 14:11

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chain nodes :

12 13 14 15 16

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds :

6-7 8-12 10-14 11-13 14-15 15-16

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-8 7-11 8-9 8-12 9-10 10-11 10-14 11-13

15-16

exact bonds :

14-15

isolated ring systems :

containing 1 :

Match level :

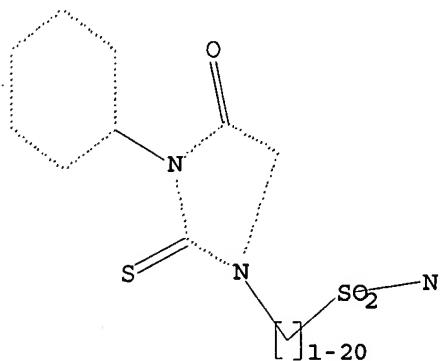
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11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s 11 full  
FULL SEARCH INITIATED 13:28:24 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 82 TO ITERATE

100.0% PROCESSED 82 ITERATIONS 45 ANSWERS  
SEARCH TIME: 00.00.01

L2 45 SEA SSS FUL L1

=> fil caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
172.10 172.31

FILE 'CAPLUS' ENTERED AT 13:28:28 ON 05 JAN 2007  
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=> s 12  
L3 2 L2

=> d ibib abs hitstr 1-2

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:117378 CAPLUS  
 DOCUMENT NUMBER: 144:192253  
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists  
 INVENTOR(S): Tachibana, Kazutaka; Sato, Hazuhiko; Ohta, Masateru;  
 Nakamura, Mitsuaki; Shiraiishi, Takuya; Imaoke, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro;  
 Kawata, Hiromitsu  
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013887	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: RT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.: JP 2004-227321	A	20040803		

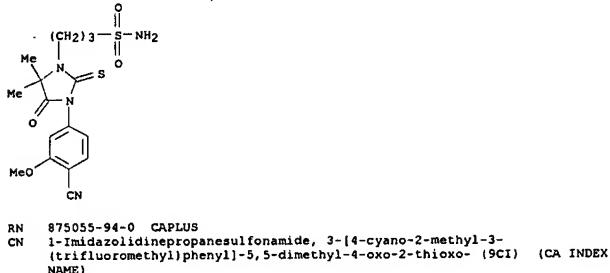
OTHER SOURCE(S): MARPAT 144:192253  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [n = 1-20; Q = O1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O, S; m = 0-3; E = alkyl; R1, R2 = H, alkyl, alkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl] were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps, with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.

IT 875055-92-8P

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

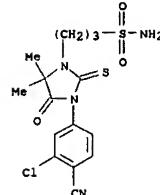


RN 875055-94-0 CAPLUS  
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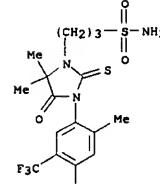
RN 875055-95-1 CAPLUS  
 CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-2-methyl-5-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (prepn. of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)  
 RN 875055-92-8 CAPLUS  
 CN 1-Imidazolidinepropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

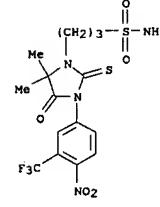


IT 875055-93-9P 875055-94-0P 875055-95-1P  
 875055-96-2P 875055-97-3P 875055-98-4P  
 875055-99-5P 875056-03-4P 875056-04-5P  
 875056-05-6P 875056-06-7P 875056-07-8P  
 875056-08-9P 875056-09-0P 875056-18-1P  
 875056-19-2P 875056-20-5P 875056-21-6P  
 875056-23-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)  
 RN 875055-93-9 CAPLUS  
 CN 1-Imidazolidinepropanesulfonamide, 3-(4-cyano-3-methoxyphenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 875055-96-2 CAPLUS  
 CN 1-Imidazolidinepropanesulfonamide, 5,5-dimethyl-3-[4-nitro-3-(trifluoromethyl)phenyl]-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



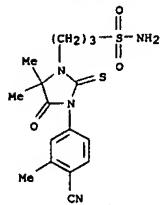
RN 875055-97-3 CAPLUS  
 CN 1-Imidazolidinepropanesulfonamide, 3-(4-cyano-3-methylphenyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

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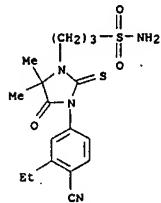
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 875055-99-4 CAPLUS

CN 1-Imidazolidinepropanesulfonamide,  
3-(4-cyano-3-ethylphenyl)-5,5-dimethyl-  
4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

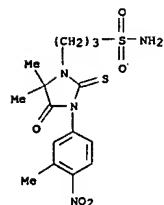


RN 875055-99-5 CAPLUS

CN 1-Imidazolidinepropanesulfonamide,  
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4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

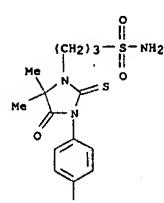
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 875056-03-4 CAPLUS

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3-(4-cyanophenyl)-5,5-dimethyl-4-oxo-2-  
thioxo- (9CI) (CA INDEX NAME)

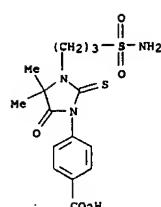


RN 875056-04-5 CAPLUS

CN Benzoic acid,  
4-[3-(3-(aminosulfonyl)propyl)-4,4-dimethyl-5-oxo-2-thioxo-1-  
imidazolidinyl]- (9CI) (CA INDEX NAME)

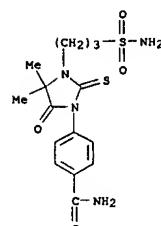
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 875056-05-6 CAPLUS

CN Benzoic acid, 4-[3-[3-(aminosulfonyl)propyl]-4,4-dimethyl-5-oxo-2-thioxo-1-  
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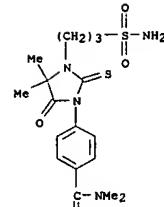


RN 875056-06-7 CAPLUS

CN Benzoic acid, 4-[3-[3-(aminosulfonyl)propyl]-4,4-dimethyl-5-oxo-2-thioxo-1-  
imidazolidinyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

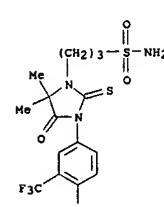
L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



RN 875056-07-8 CAPLUS

CN Benzoic acid,  
4-[3-[3-(aminosulfonyl)propyl]-4,4-dimethyl-5-oxo-2-thioxo-1-  
imidazolidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

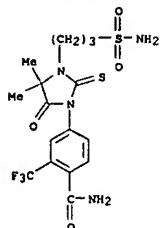


RN 875056-08-9 CAPLUS

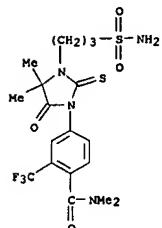
CN Benzoic acid, 4-[3-[3-(aminosulfonyl)propyl]-4,4-dimethyl-5-oxo-2-thioxo-1-  
imidazolidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

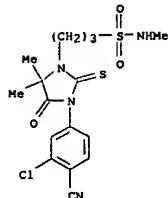


RN 875056-09-0 CAPLUS  
CN Benzamide, 4-[3-[3-(aminosulfonyl)propyl]-4,4-dimethyl-5-oxo-2-thioxo-1-imidazolidinyl-N,N-dimethyl-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

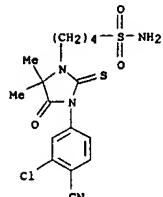


RN 875056-18-1 CAPLUS  
CN 1-Imidazolidinopropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

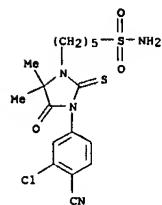


RN 875056-19-2 CAPLUS  
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4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

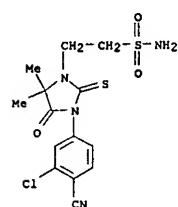


RN 875056-20-5 CAPLUS  
CN 1-Imidazolidinepentanesulfonamide,  
3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-  
4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

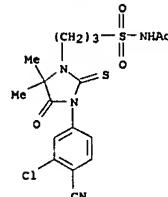


RN 875056-21-6 CAPLUS  
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3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-  
4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



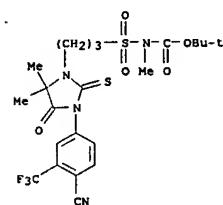
RN 075056-23-8 CAPLUS  
CN Acetamide,  
N-[{3-[3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl]propyl}sulfonyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 811794-10-2P 811794-20-4P 875056-29-3P  
875056-29-6P 875056-33-0P 875056-37-4P  
875056-39-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of imidazolidine derivs. as androgen receptor

antagonists for  
     treatment of prostate cancer, prostatomegaly, etc.)  
 RN 811794-10-2 CAPLUS  
 CN Carbamic acid, [3-{3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-  
     oxo-2-thioxo-1-imidazolidinyl}propyl]sulfonylmethyl-, 1,1-dimethylethyl  
     ester (ACN) (CA INDEX NMRI)



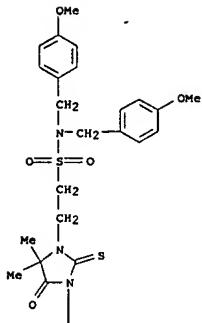
RN 811794-20-4 CAPLUS  
CN 1-Imidazolidineethanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-  
N,N-bis[(4-methoxyphenyl)methyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA  
INDEX NAME)

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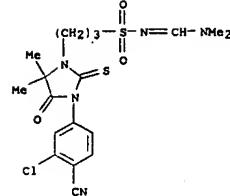
L3 ANSWER 1 OF 2 CAPIUS COPYRIGHT 2007 ACS on STN

(Continued)

PAGE 1-A

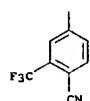


L3 ANSWER 1 OF 2 CAPIUS COPYRIGHT 2007 ACS on STN (Continued)

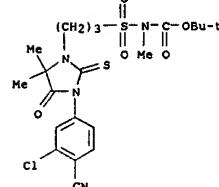


RN 875056-29-4 CAPIUS

CN Carbamic acid, [(3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinyl)propyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



PAGE 2-A

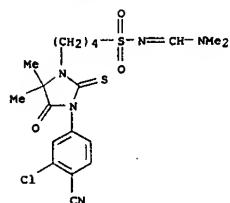


RN 875056-33-0 CAPIUS

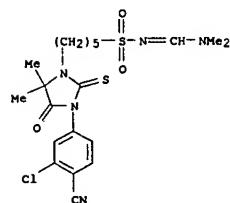
CN 1-Imidazolidinebutanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-[(dimethylamino)methylene]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

RN 875056-28-3 CAPIUS  
CN 1-Imidazolidinepropanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-[(dimethylamino)methylene]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPIUS COPYRIGHT 2007 ACS on STN (Continued)

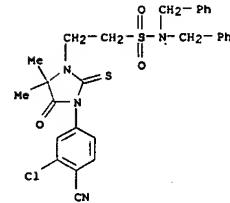


RN 875056-37-4 CAPIUS  
CN 1-Imidazolidinepentanesulfonamide, 3-(3-chloro-4-cyanophenyl)-N-[(dimethylamino)methylene]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 875056-39-6 CAPIUS  
CN 1-Imidazolidineethanesulfonamide, 3-(3-chloro-4-cyanophenyl)-5,5-dimethyl-4-oxo-N,N-bis(phenylmethyl)-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPIUS COPYRIGHT 2007 ACS on STN (Continued)



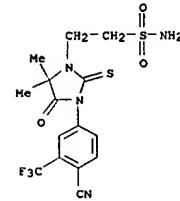
IT 811793-48-3P 811793-51-8P 811793-64-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of imidazolidine derivs. as androgen receptor antagonists for

treatment of prostate cancer, prostatomegaly, etc.)

RN 811793-48-3 CAPIUS

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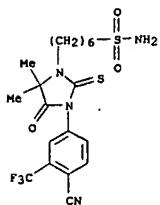


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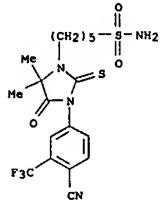
Karen Cheng

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L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

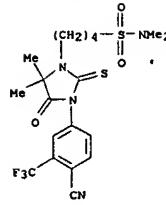


RN 811793-64-3 CAPLUS  
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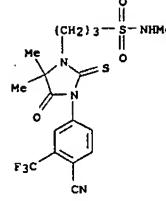


RN 811793-67-6 CAPLUS  
 CN 1-imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-78-9 CAPLUS  
 CN 1-imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1127346 CAPLUS

DOCUMENT NUMBER: 142:74567

TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists

INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shirashi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro;

Kawata, Hiromitsu

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

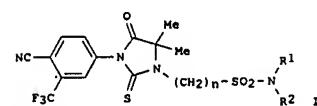
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200411012	A1	20041223	WO 2004-JP8211	20040611
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RU: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
EP 1634874	A1	20060315	EP 2004-745805	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2006135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPN. INFO.: US 2006135583			JP 2003-168267	A 20030612
				WO 2004-JP8211
				W 20040611

OTHER SOURCE(S): MARPAT 142:74567  
GI

AB 3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides represented by the formula (I) (wherein n is an integer selected among 1 to 20; and R1 and R2 may be the same or different and each represents hydrogen or linear or branched,

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

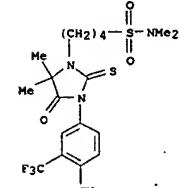
alkyl) and salts, prodrugs, and solvates thereof are prep'd. These compds.

are useful for the prevention and/ or treatment of prostatic cancer, prostatic hypertrophy, male pattern alopecia, early sexual maturity, common acne, seborrheic disease, and hypertrichosis. Thus, 2.2 g MeO2CCMe2NH(CH2)3SO2N:CHNMMe2 was dissolved in 34 mL THF, treated with

0.21 mL Et3N and 1.71 g 4-cyano-3-trifluoromethylphenyl isothiocyanate, and stirred at room temp. for 2 h to give 71% I (n = 3, NR1R2 = N:CHNMMe2) which (2.6 g) was treated with a mixt. of 6 N aq. HCl and 1,4-dioxane under reflux for 1 h to give 70% I (n = 3, R1= R2 = H) (III). II and I (n = 3, R1= R2 = H) showed EC50 of 20,000 and >100,000 nM, resp., as androgen receptor agonists, and IC50 of 200 and 600 nM, resp., as androgen receptor antagonists with EC50/IC50 ratio of 100 and >170, resp., in an androgen receptor reporter gene assay using 11A1B2 cells (HeLa cells expressing human androgen receptor). They showed higher EC50/IC50 ratio than Picartamide (0.067) and hydroxyflutamide (0.1) and are expected to be anti-androgen agents without side effects such as development of androgen resistance and/or liver toxicity.

IT 811793-67-6P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811793-67-6 CAPLUS  
 CN 1-imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



IT 811793-42-7P 811793-48-3P 811793-51-8P

811793-54-1P 811793-57-4P 811793-60-9P

811793-64-3P 811793-68-7P 811793-70-1P

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811793-81-4P

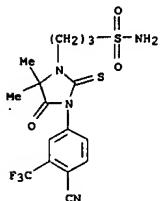
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
(prep. of 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alaknesulfonamides derivs. as androgen receptor antagonists)

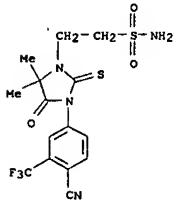
RN 811793-42-7 CAPLUS

CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



RN 811793-48-3 CAPLUS

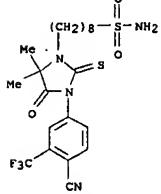
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RN 811793-51-8 CAPLUS

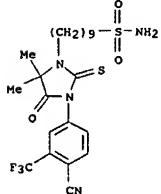
CN 1-Imidazolidinehexanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



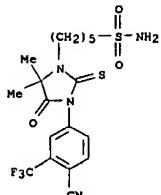
RN 811793-60-9 CAPLUS

CN 1-Imidazolidinemnonanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

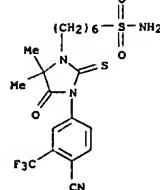


RN 811793-64-3 CAPLUS

CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

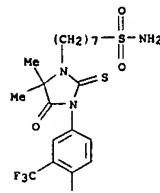


L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-54-1 CAPLUS

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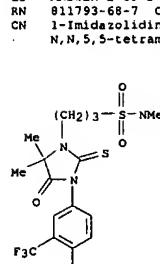


RN 811793-57-4 CAPLUS

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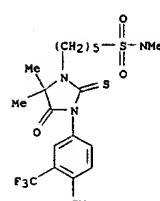
L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-70-1 CAPLUS

CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,5,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



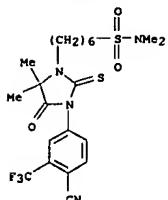
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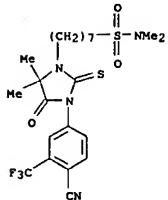
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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

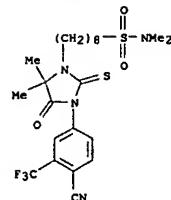


RN 811793-73-4 CAPLUS  
CN 1-Imidazolidineheptanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,S,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

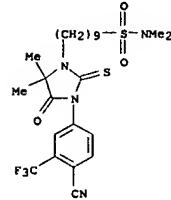


RN 811793-75-6 CAPLUS  
CN 1-Imidazolidineoctanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,S,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

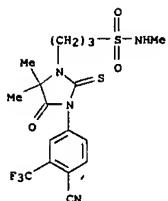


RN 811793-77-8 CAPLUS  
CN 1-Imidazolidinenonanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,N,S,5-tetramethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

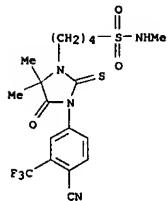


RN 811793-78-9 CAPLUS  
CN 1-Imidazolidinepropanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

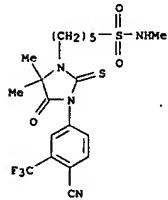
L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 811793-80-3 CAPLUS  
CN 1-Imidazolidinebutanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



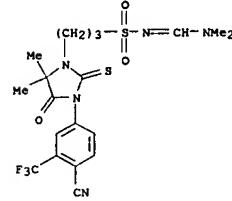
RN 811793-81-4 CAPLUS  
CN 1-Imidazolidinepentanesulfonamide, 3-[4-cyano-3-(trifluoromethyl)phenyl]-N,5,5-trimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

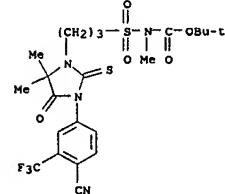
IT 811794-21-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of  
3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811794-21-5 CAPLUS  
CN 1-Imidazolidinepropanesulfonamide,  
3-[4-cyano-3-(trifluoromethyl)phenyl]-N-  
((dimethylamino)methylene)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



IT 811794-10-2P 811794-20-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of  
3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)

RN 811794-10-2 CAPLUS  
CN Carbamic acid, [(3-[3-[4-cyano-3-(trifluoromethyl)phenyl]-5,5-dimethyl-4-oxo-2-thioxo-1-imidazolidinylpropyl]sulfonyl)methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



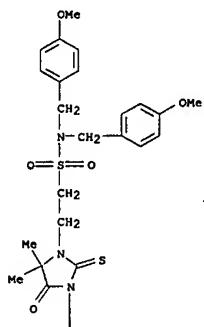
RN 811794-20-4 CAPLUS

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L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN 1-Imidazolidineethanesulfonamide, 3-(4-cyano-3-(trifluoromethyl)phenyl)-  
N,N-bis((4-methoxyphenyl)methyl)-5,5-dimethyl-4-oxo-2-thioxo- (9CI) (CA  
INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

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for claim #12

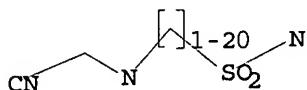
10560281full

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Match level :  
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Structure attributes must be viewed using STN Express query preparation.

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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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<http://www.cas.org/infopolicy.html>

=> s 12

L3 3 L2

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10560281full

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2006:117378 CAPLUS  
 DOCUMENT NUMBER: 144:192233  
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists  
 INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu  
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013887	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, YU, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, C2, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2004-227321 A 20040803

OTHER SOURCE(S): MARPAT 144:192253  
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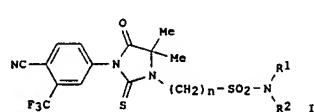
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [n = 1-20; Q = Q1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O, S; m = 0-3; E = alkyl; R1, R2 = H, alkyl, alkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl] were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps, with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.

IT 811794-17-9P

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:1127346 CAPLUS  
 DOCUMENT NUMBER: 142:74567  
 TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists  
 INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imaoka, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu  
 PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
 SOURCE: PCT Int. Appl., 59 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
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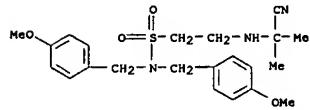
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EP 1634874	A1	20060315	EP 2004-745805	20040611	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK	US 2006135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPLN. INFO.: WO 2004-JP0211			JP 2003-168267	A 20030612	
OTHER SOURCE(S): MARPAT 142:74567 GI					



AB 3-(4-Cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides represented by the formula (I) (wherein n is an integer selected among 1 to 20; and R1 and R2 may be the same or different and each represents hydrogen or linear or branched,

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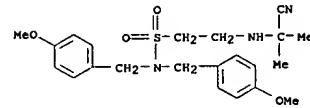
L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)  
 RN 811794-17-9 CAPLUS  
 CN Ethanesulfonamide, 2-[(1-cyano-1-methylethyl)amino]-N,N-bis[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 alkyl) and salts, prodrugs, and solvates thereof are prep'd. These compds. are useful for the prevention and/or treatment of prostatic cancer, prostatic hypertrophy, male pattern alopecia, early sexual maturity, common acne, seborrheic disease, and hypertrichosis. Thus, 2.2 g MeO2CCMe2NH(CH2)3SO2N:CHNMe2 was dissolved in 34 mL THF, treated with 0.21 mL Et3N and 1.71 g 4-cyano-3-trifluoromethylphenyl isothiocyanate, and stirred at room temp. for 2 h to give 71% I (n = 3, NR1R2 = N:CHNMe2) which (2.6 g) was treated with a mixt. of 6 N aq. HCl and 1,4-dioxane under reflux for 1 h to give 70% I (n = 3, R1 = R2 = H) (II). II and I (n = 3, R1 = R2 = H) showed EC50 of 20,000 and >100,000 nM, resp., as androgen receptor agonists, and IC50 of 200 and 600 nM, resp., as androgen receptor antagonists with EC50/IC50 ratio of 100 and >170, resp., in an androgen receptor reporter gene assay using 11A11B2 cells (HeLa cells expressing human androgen receptor). They showed higher EC50/IC50 ratio than Picartamide (0.067) and hydroxyflutamide (0.1) and are expected to be anti-androgen agents without side effects such as development of androgen resistance and/or liver toxicity.

IT 811794-17-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioximidazolidine-1-alkanesulfonamides derivs. as androgen receptor antagonists)  
 RN 811794-17-9 CAPLUS  
 CN Ethanesulfonamide, 2-[(1-cyano-1-methylethyl)amino]-N,N-bis[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10560281full

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2004-902362 CAPLUS

DOCUMENT NUMBER: 141:379928  
TITLE: Preparation of thiadiazoline derivatives as M-stage kinesin inhibitors

INVENTOR(S): Murakata, Chikara; Yamashita, Yoshihori; Nakai,

Ryuichiro; Akasaki, Kazuto; Ino, Yoji; Kato,

Kazuhiko;

PATENT ASSIGNEE(S): Kitamura, Yuji  
Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.

SOURCE: PCT Int. Appl., 198 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

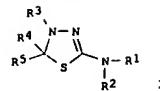
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092147	A1	20041028	WO 2004-JP5489	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KS, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BM, GH, KM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, DZ, BY, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CR, CY, CZ, DE, DK, SE, ES, FI, FR, GB, GR, HU, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, NE, SN, TD, TG				
AU 2004230799	A1	20041028	AU 2004-230799	20040416
CA 2522594	A1	20041028	CA 2004-2522594	20040416
EP 1616866	A1	20060118	EP 2004-728012	20040416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, EZ, EE, HU, PL, SK, HR				
CN 1774428	A	20060517	CN 2004-90010301	20040416
PRIORITY APPLN. INFO.:			JP 2003-114071	A 20030418
			JP 2003-164727	A 20030610
			WO 2004-JP5489	W 20040416

OTHER SOURCE(S): MARPAT 141:379928  
GI

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The title compds. I [R1 represents hydrogen, etc.; R2 represents hydrogen,

C(:W)R6 (wherein W represents oxygen or sulfur and R6 represents (un)substituted lower alkyl, etc.), etc.; R3 represents C(=O)R19 (wherein S represents oxygen or sulfur and R19 represents (un)substituted lower alkyl, etc.) etc.; R4 represents (un)substituted lower alkyl, etc.; and

R5 represents (un)substituted aryl, etc.) are prepared. I [R1 = H; R2 = R3 = COCOMe; R4 = -(CH2)2NH(CH2)2Me; R5 = phenyl] was prepared in a multistep process starting from thiosemicarbazide hydrochloride and Et<sub>2</sub>benzoylacetate. Compds. of this invention in vitro showed IC50 values of 5.2 μmol/L against Eg5 ATPase. Formulations are given.

IT 781675-59-0

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

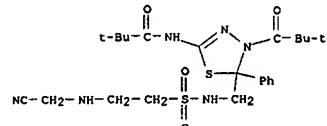
(preparation of thiadiazoline derivs. as M-stage kinesin inhibitors)

RN 781675-59-0 CAPLUS

CN Propanamide,

N-[5-[[[2-[(cyanomethyl)amino]ethyl]sulfonyl]amino]methyl]-4-

(2,2-dimethyl-1-oxopropyl)-4,5-dihydro-5-phenyl-1,3,4-thiadiazol-2-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

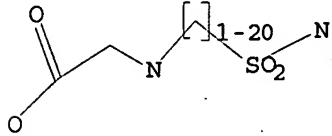
THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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L4 STRUCTURE UPLOADED

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L4 HAS NO ANSWERS  
L4 STR



Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 13:41:29 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1648 TO ITERATE

100.0% PROCESSED 1648 ITERATIONS 131 ANSWERS  
SEARCH TIME: 00.00.01

L5 131 SEA SSS FUL L4

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FULL ESTIMATED COST	172.10	361.63	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
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L6 28 L5

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L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:117378 CAPLUS

DOCUMENT NUMBER: 144:192253

TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists

INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Takuya; Imakura, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro;

Kawata,

Hiromitsu

PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIIXDZ

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006013887	A1	20060209	WO 2005-JP14195	20050803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MN, MW, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UR, VG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CL, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.: P 2004-227321			A 20040803	

OTHER SOURCE(S): MARPAT 144:192253

IT 811793-88-1P 811794-00-0P 811794-08-1P

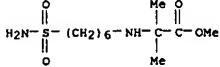
875056-27-2P 875056-32-9P 875056-36-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazolidine derivs. as androgen receptor antagonists for treatment of prostate cancer, prostatomegaly, etc.)

RN 811793-88-1 CAPLUS

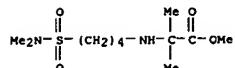
CN Alanine, N-[6-(aminosulfonyl)hexyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



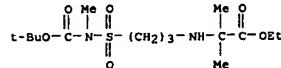
RN 811794-00-0 CAPLUS

L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

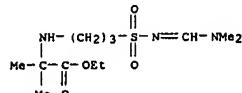
CN Alanine, N-[4-[(dimethylamino)sulfonyl]butyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



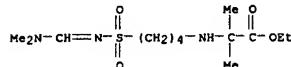
RN 811794-08-8 CAPLUS  
CN 10-Oxa-3-thia-2,7-diazadodecanoic acid, 2,8,8-trimethyl-9-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



RN 875056-27-2 CAPLUS  
CN 5-Thia-2,4,9-triazadodec-3-en-11-oic acid, 2,10,10-trimethyl-, ethyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

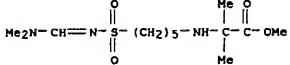


RN 875056-32-9 CAPLUS  
CN 5-Thia-2,4,10-triazadodec-3-en-12-oic acid, 2,11,11-trimethyl-, ethyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 875056-36-3 CAPLUS  
CN 5-Thia-2,4,11-triazatridec-3-en-13-oic acid, 2,12,12-trimethyl-, methyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [n = 1-20; Q = Q1, Q2; A = cyano, CO2R3, CONR3R4, etc.; B = H, halo, OR3, etc.; X1, X2 = O, S; m = 0-3; E = alkyl; R1, R2 = H, alkyl, alkylcarbonyl; R3, R4 = H, alkyl; when X1 = O and X2 = S, Q is not 4-cyano-3-trifluoromethylphenyl] were prepared. For example, reaction of compound II, e.g., prepared from 3-chloropropanesulfonamide in 2 steps,

with 3-chloro-4-cyanophenylisothiocyanate followed by treatment with HCl afforded compound III. In androgen receptor antagonistic activity assays, the IC50 value of compound III was 200 nM. Compds. I are claimed useful for the treatment of prostate cancer, prostatomegaly, etc.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:228075 CAPLUS

DOCUMENT NUMBER: 143:460416

TITLE: New analogues of MIF-1 (Pro-Leu-Gly-NH2) based on modification at position 2

AUTHOR(S): Pancheva, S.; Popgeorgieva, E.; Kalauzka, R.; Paipanova, T.

CORPORATE SOURCE: Institute of Molecular Biology, Bulgarian Academy of Sciences, Sofia, 1113, Bulg.

SOURCE: Dokladi na Bulgarskata Akademiya na Naukite (2004), 57(12), 49-54

PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite

DOCUMENT TYPE: Journal

LANGUAGE: English

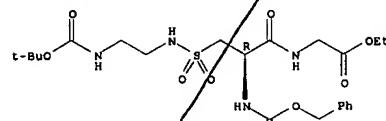
OTHER SOURCE(S): CASREACT 143:460418

IT 869208-80-0P 869208-81-1P 869208-82-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of MIF-1 (Pro-Leu-Gly-NH2) analogs based on modification at position 2)

RN 869208-80-0 CAPLUS

CN Glycine, 3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]amino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

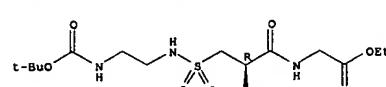
Absolute stereochemistry.



RN 869208-81-1 CAPLUS

CN Glycine, 3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]amino)sulfonyl]-L-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 869208-82-2 CAPLUS

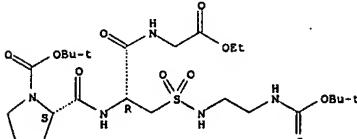
CN Glycine, 1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl-3-[(2-[(1,1-dimethylethoxy)carbonyl]amino)ethyl]sulfonyl]-L-alanyl-, ethyl ester

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L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (9CI) (CA INDEX NAME) (Continued)

Absolute stereochemistry. Rotation (-).



AB A series of analogs of L-prolyl-L-leucylglycynamide (MIF-1, inhibitor of MSH release), in which the leucine residue has been replaced by unnatural amino acids (substituted S-cysteine sulfonamides) considered to be structural sulfo analogs of natural amino acids leucine, isoleucine, norleucine and lysine, has been synthesized. The desired tripeptides were prepared using conventional segment condensation in solution  
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

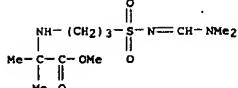
L6 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

✓ DOCUMENT NUMBER: 20041127346 CAPLUS  
TITLE: Preparation of imidazolidine derivatives as androgen receptor antagonists  
INVENTOR(S): Tachibana, Kazutaka; Sato, Haruhiko; Ohta, Masateru; Nakamura, Mitsuaki; Shiraishi, Tokuya; Imao, Ikuhiro; Yoshino, Hitoshi; Nagamuta, Masahiro; Kawata, Hiromitsu  
PATENT ASSIGNEE(S): Chugai Seiyaku Kabushiki Kaisha, Japan  
SOURCE: PCT Int. Appl., 59 pp.  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

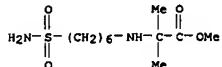
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200411012	A1	20041223	WO 2004-JP8211	20040611
W: AE, AG, AL, AM, AT, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1634874	A1	20060315	EP 2004-745805	20040611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20061135583	A1	20060622	US 2005-560281	20051212
PRIORITY APPLN. INFO.: JP 2003-168267			JP 2003-168267	A 20030612
			WO 2004-JP8211	W 20040611

OTHER SOURCE(S): MARPAT 142:74567  
IT 811793-85-8P 811793-88-1P 811794-00-0P  
811794-08-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of  
3-(4-cyano-3-trifluoromethylphenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidine-1-alaknesulfonamides derivs. as androgen receptor antagonists)  
RN 811793-85-8 CAPLUS  
CN 5-Thia-2,4,9-triazaundec-3-en-11-oic acid, 2,10,10-trimethyl-, methyl ester, 5,5-dioxide (9CI) (CA INDEX NAME)

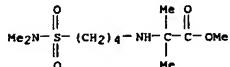
L6 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



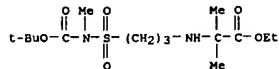
RN 811793-88-1 CAPLUS  
CN Alanine, N-(6-(aminosulfonyl)hexyl)-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



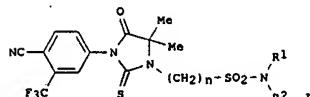
RN 811794-00-0 CAPLUS  
CN Alanine, N-[4-(dimethylamino)sulfonyl]butyl)-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 811794-08-8 CAPLUS  
CN 10-Oxa-3-thia-2,7-diazadodecanoic acid, 2,8,8-trimethyl-9-oxo-, 1,1-dimethylethyl ester, 3,3-dioxide (9CI) (CA INDEX NAME)



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Karen Cheng

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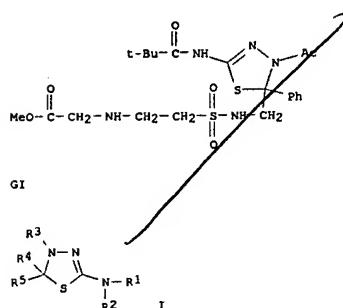
10560281full

L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:802362 CAPLUS  
 DOCUMENT NUMBER: 141:379928  
 TITLE: Preparation of thiadiazoline derivatives as M-stage kinesin inhibitors  
 INVENTOR(S): Murakata, Chikara; Yamashita, Yoshinori; Nakai, Ryuichiro; Akasaka, Kazuto; Ino, Yoji; Kato, Kazuhiko;  
 PATENT ASSIGNEE(S): Kitamura, Yuji  
 Kyowa Hakko Kogyo Co., Ltd., Japan; Fuji Photo Film Co., Ltd.  
 SOURCE: PCT Int. Appl., 198 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092147	A1	20041028	WO 2004-JPS489	20040416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ED, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TH, TR, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004230799	A1	20041028	AU 2004-230799	20040416
CA 2522594	A1	20041028	CA 2004-2522594	20040416
EP 1616866	A1	20060118	EP 2004-728012	20040416
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1774428	A	20060517	CN 2004-80010301	20040416
PRIORITY APPLN. INFO.:			JP 2003-114071	A 20030418
			JP 2003-164727	A 20030610
			WO 2004-JPS489	W 20040416

OTHER SOURCE(S): MARPAT 141:379928  
 IT 781675-63-6P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of thiadiazoline derivs. as M-stage kinesin inhibitors)  
 RN 781675-63-6 CAPLUS  
 CN Glycine,  
 N-[2-[[[(3-acetyl-5-[(2,2-dimethyl-1-oxopropyl)amino]-2,3-dihydro-2-phenyl-1,3-thiadiazol-2-yl)methyl]amino]sulfonyl]ethyl]-, methyl ester

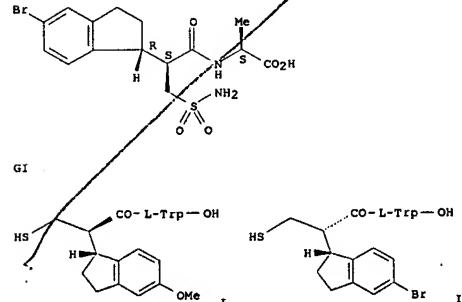
L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 (9CI) (CA INDEX NAME)



AB The title compds. I [R1 represents hydrogen, etc.; R2 represents hydrogen, C(=O)R6 (wherein W represents oxygen or sulfur and R6 represents (un)substituted lower alkyl, etc.), etc.; R3 represents C(2)R19 (wherein Z represents oxygen or sulfur and R19 represents (un)substituted lower alkyl, etc.) etc.; R4 represents (un)substituted lower alkyl, etc.; and R5 represents (un)substituted aryl, etc.] are prepared. I [R1 = H; R2 = R3 = COCH3; R4 = (CH2)2NH(CH2)2Me; R5 = phenyl] was prepared in a multistep process starting from thioureas and Et benzoyleacetate. Compds. of this invention in vitro showed IC50 values of  $\leq 2 \mu\text{M}$  against Eg5 ATPase. Formulations are given.  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:510485 CAPLUS  
 DOCUMENT NUMBER: 137:370343  
 TITLE: N-(2-(Indan-1-yl)-3-mercaptopropionyl) amino acids as highly potent inhibitors of the three vasoconstrictors (NEP, ACE, ECE): in vitro and in vivo activities  
 AUTHOR(S): Inguimbert, Nicolas; Poras, Herve; Teffo, Franck; Beslot, Francoise; Selkti, Mohamed; Tomas, Alain; Scalbert, Elizabeth; Bennejean, Caroline; Renard, Pierre; Fournie-Zaluski, Marie-Claude; Roques, Bernard-Pierre  
 CORPORATE SOURCE: Departement de Pharmacochimie Moleculaire Structurale, UFR Sciences Pharmaceutiques et Biologiques, Paris, 75270, Fr.  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(15), 2001-2005  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 137:370343  
 IT 735277-91-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and activity of dipeptide simultaneous neprilysin, angiotensin converting enzyme, and endothelin converting enzyme inhibitors for use in treatment of)  
 RN 735277-91-5 CAPLUS  
 CN L-Alanine,  
 N-[(2S)-3-(aminosulfonyl)-2-[(1R)-5-bromo-2,3-dihydro-1H-inden-1-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB We have previously reported the design of a lead compound for the joint inhibition of neprilysin (NEP, EC 3.4.24.11), angiotensin converting enzyme (ACE, EC 3.4.15.1) and endothelin converting enzyme (ECE-1, EC 3.4.24.71), three metalloproteinases which are implicated in the regulation of fluid homeostasis and vascular tone. We report here the synthesis and biol. activities of analogs derived from this lead with inhibitory potencies in the nanomolar range for the three enzymes. Compds. (I) and (II) are the most potent triple inhibitors described to date.  
 REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Karen Cheng

10560281full

L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:666735 CAPLUS

DOCUMENT NUMBER: 133:238019

TITLE: Preparation of aminopyrimidopyrimidines and related compounds as inhibitors of epidermal growth factor receptor-mediated cell proliferation.

INVENTOR(S): Himmelbach, Frank; Langkopf, Elke; Blech, Stefan; Jung, Birgit; Metz, Thomas; Solice, Flavio

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany

SOURCE: PCT Int. Appl., 137 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055162	A2	20000921	WO 2000-EP2229	20000314
WO 2000055162	A3	20010228		
W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BY, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG				
DE 19911510	A1	20000921	DE 1999-19911510	19990315
CA 2361770	A1	20000921	CA 2000-2361770	20000314
EP 1163242	A2	20011219	EP 2000-920498	20000314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539214	T	20021119	JP 2000-605591	20000314
US 2002082420	A1	20020627	US 2001-443597	20010821
PRIORITY APPLN. INFO.:			DE 1999-19911510	A 19990315
			WO 2000-EP2229	W 20000314

OTHER SOURCE(S): MARPAT 133:238019

IT 294181-46-7P 294181-48-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

(study, unclassified); SPN (Synthesis/ preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses) (preparation of aminopyrimidopyrimidines and related compds. as inhibitors

of epidermal growth factor receptor-mediated cell proliferation)

RN 294181-46-7 CAPLUS

CN Glycine,

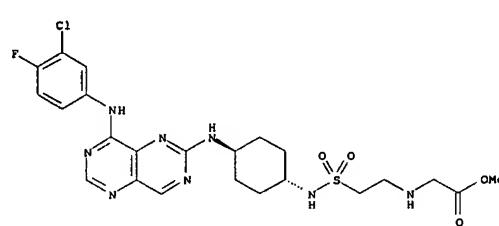
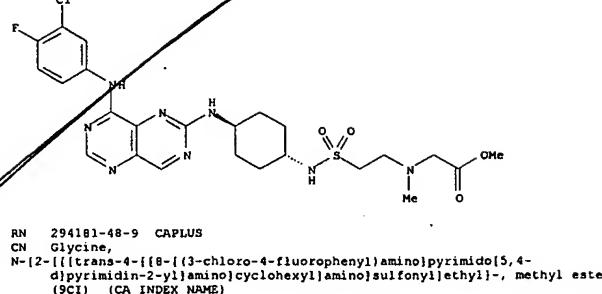
N-[2-((trans-4-[(8-(3-chloro-4-fluorophenyl)aminopyrimido[5,4-d]pyrimidin-2-yl)amino]cyclohexyl)amino]sulfonyl)ethyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.

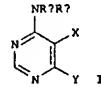
L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ACCESSION NUMBER: 2000:666735 CAPLUS

DOCUMENT NUMBER: 133:238019



GI



claim 12

L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB Title compds. [I; Ra = H, alkyl; Rb = (substituted) Ph, PhCH2, PhCH2CH2; XY = N-C(AB)CH:CH, CH:NC(AB):CH, N:C(AB):CH, etc.; A = alkyleneoxy, cycloalkyleneoxy, (substituted) alkyleneimino, cycloalkyleneimino, azetidinylene, piperidinylene, piperazineylene, etc. B = R602CA1NR5, etc.; R5 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl; Al = (substituted) alkylene, R6 = H, (substituted) alkyl, cycloalkyl, alkenyl, alkyne, cycloalkylalkyl, etc.), were prepared. Thus, 4-[(3-chloro-4-fluorophenyl)amino]-6-[(1-(methoxycarbonyl)methyl)piperidin-4-yl]amino]pyrimido[5,4-d]pyrimidine was stirred with aqueous NaOH in THF to give 96% 4-(3-chloro-4-fluorophenyl)amino)-6-[(1-(carboxymethyl)methyl)piperidin-4-yl]amino]pyrimido[5,4-d]pyrimidine. I inhibited EGF-dependent proliferation of F/L-HERC cells with IC50 = 7-2510 nM.

L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:290989 CAPLUS

DOCUMENT NUMBER: 132:321722

TITLE: Preparation of N-(2-acylpropionyl)sulfonamides as inhibitors of neutrophil chemotaxis and degranulation induced by interleukin 8.

INVENTOR(S): Bertini, Riccardo; Bizzarri, Cinzia; Sabbatini, Vilma;

Porzio, Stefano; Caselli, Gianfranco; Allegretti, Marcello; Cesta, Maria Candide; Gandomi, Carmelo A.; Mantovani, Marco; Colotta, Francesco; Dompè' S.P.A., Italy; et al.

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000024710	A1	20000504	WO 1999-EP7740	19991014
W: AE, AL, AM, AT, AU, A2, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG				
IT 1302424	B1	20001106	IT 1998-MI2280	19981023
CA 2347752	A1	20000504	CA 1999-2347752	19991014
BR 8914741	A	20010703	BR 1999-14741	19991014
EP 1122276	A1	20010816	EP 1999-953824	19991014
EP 1123276	B1	20030108		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200101124	T2	20011022	TR 2001-200101124	19991014
HU 2001013793	A2	20020328	HU 2001-3793	19991014
HU 225107	B1	20060628		
EE 200100233	A	20020815	EE 2001-233	19991014
JP 2002528434	T	20020903	JP 2000-578281	19991014
AT 230723	T	20030115	AT 1999-953824	19991014
PT 1123276	T	20030430	PT 1999-953824	19991014
ES 2190264	T3	20030716	ES 1999-953824	19991014
NZ 511077	A	20030829	NZ 1999-511077	19991014
AU 769850	B2	20040205	AU 2000-10375	19991014
CN 1615833	A	20050518	CN 2004-10085635	19991014
RU 2255084	C2	20050627	RU 2001-113733	19991014
CZ 296434	B6	20060315	CZ 2001-1441	19991014
NO 2001002000	A	20010620	NO 2001-2000	20010423
US 6887903	B1	20050503	US 2001-830075	20011121
NZ 525084	A	20040827	NZ 2003-525084	20030401
US 2003216392	A1	20031120	US 2003-460203	20030613
US 6881755	B2	20050419		
AU 2003259648	A1	20031127	AU 2003-259648	20031103
EP 1579859	A1	20050928	EP 2004-7177	20040325
EP 1579859	B1	20061213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				

Karen Cheng

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L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 AU 2005226901 AI 20051006 AU 2005-226901 20050317  
 CA 2555162 AI 20051006 CA 2005-2555162 20050317  
 WO 2005092315 AI 20051006 WO 2005-EP2822 20050317  
 W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MX, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,  
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
 ZW

RW: BW, GH, GM, KE, LS, MN, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TZ, TM, AT, BE, BG, CH, CY, C2, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MA, MC, MU, PL, PT,  
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 MR, NE, SN, TD, TG

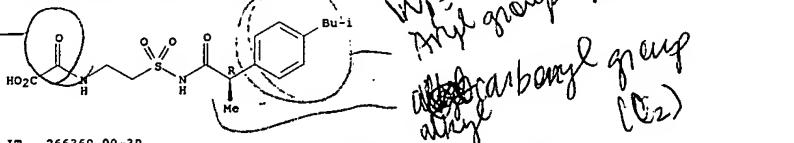
PRIORITY APPLN. INFO.: IT 1998-MI2280 A 19981023  
 AU 2000-10375 A3 19991014  
 WO 1999-EP7740 W 19991014  
 US 2001-830075 A3 20011121  
 EP 2004-7177 A 20040325  
 WO 2005-EP2822 W 20050317

OTHER SOURCE(S): MARPAT 132:321722  
 IT 266359-96-OP  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of neutrophil  
 neutrophil  
 chemotaxis and degranulation induced by interleukin 8)

RN 266359-96-0 CAPLUS

CN Acetic acid, [(2-[(2R)-2-[4-(2-methylpropyl)phenyl]-1-oxopropyl]amino)sulfonyl]ethyl-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 266360-00-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of N-(2-arylpropionyl)sulfonamides as inhibitors of neutrophil

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999-521437 CAPLUS

DOCUMENT NUMBER: 131:157754

TITLE: Preparation of naphthyridine IL-4 antagonists and G-CSF stimulators

INVENTOR(S): Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.; Bober, Loretta A.; Sherlock, Margaret H.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. 57 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

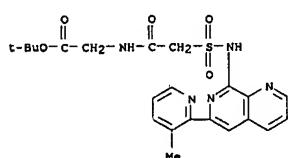
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5939431	A	19990817	US 1997-978860	19970619
PRIORITY APPLN. INFO.:			US 1996-22173P	P 19960620

OTHER SOURCE(S): MARPAT 131:157754

IT 200927-98-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of naphthyridine IL-4 antagonists and G-CSF stimulators)

RN 200927-98-6 CAPLUS

CN Glycine, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 200927-75-9P 200927-76-0P 200927-78-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU  
 (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of naphthyridine IL-4 antagonists and G-CSF stimulators)

RN 200927-75-9 CAPLUS

CN Glycine, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl]- (9CI) (CA INDEX NAME)

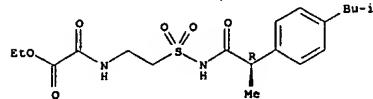
L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

chemotaxis and degranulation induced by interleukin 8)

RN 266360-00-3 CAPLUS

CN Acetic acid, [(2-[(2R)-2-[4-(2-methylpropyl)phenyl]-1-oxopropyl]amino)sulfonyl]ethyl-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



AB R2CHMeCONR1S2CR (R2 = aryl; R = alkyl, CF3, cyclohexyl, o-tolyl, 3-pyridyl, 2-pyridylethyl, p-cyanophenylmethyl, p-aminophenylmethyl, 3-cyano-1-Pr, 4-aminobutyl, etc.; R1 = H, alkyl), were prepared. Thus, (R)-2-(4-isobutylphenyl)propionyl chloride in MeCN was added to NH3 in

H2O at 0-5° to give (R)-2-(4-isobutylphenyl)propionamide. Title compds. inhibited chemotaxis of PMN human leukocytes with IC50 = 10-7 to 10-9M.

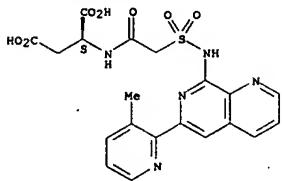
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Karen Cheng

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L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

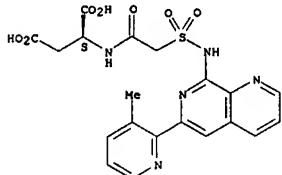
(Continued)



• 2 Na

IT 200927-77-1P 200928-49-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of naphthyridine IL-4 antagonists and G-CSF stimulators)  
RN 200927-77-1 CAPLUS  
CN L-Aspartic acid, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

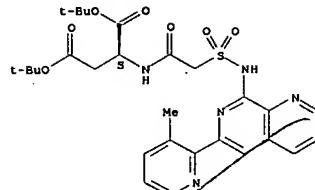


RN 200928-49-0 CAPLUS  
CN L-Aspartic acid, N-[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl]acetyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



AB Title compds., e.g., R1Z1NHHSO2Z(NH)a(CO)bR8 (R1 = 3-methyl-2-pyridinyl; Z = 1,7-naphthyridine-6,8-diyl){I; R8 = alkyl(oxy) or benzyl(oxy); Z = phenylene; a,b = 0 or 1} were prepared as IL-4 antagonists (no data) and G-CSF stimulators. Thus, 8-amino-6-(3-methyl-2-pyridinyl)-1,7-naphthyridine was amidated by 4-(AcHN)C6H4SO2Cl to give I (R8 = Me, Z = 1,4-phenylene, a = b = 1). Data for G-CSF stimulating activity of I were given.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998-251152 CAPLUS

DOCUMENT NUMBER: 128:321926

TITLE: Preparation of aspartate ester inhibitors of interleukin-1 $\beta$  converting enzyme

INVENTOR(S): Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprath, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816502	A1	19980423	WO 1997-US18514	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, C2, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ,				
TM	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	19980423	CA 1997-2268098	19971009
CR 2268098	A1	19980423	CA 1997-2268098	19971009
AU 97149023	A	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932599	A1	19990804	EP 1997-911715	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IB, SI, LT, LV, FI, RO				
BR 9712530	A	19991019	BR 1997-12530	19971009
JP 2001506974	T	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409
KR 2000049048	A	20000725	KR 1999-703117	19990410
PRIORITY APPLN. INFO.:			US 1996-28322P	P 19961011
		WO 1997-US18514	W 19971009	

OTHER SOURCE(S): MARPAT 128:321926

IT 206865-33-OP 206865-34-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of aspartate ester inhibitors of interleukin-1 $\beta$  converting enzyme)

ENZYME:

RN 206865-33-0 CAPLUS

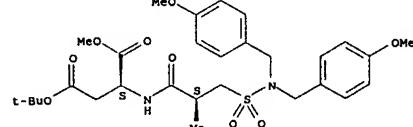
CN L-Aspartic acid,

N-[(2S)-3-[(bis[(4-methoxyphenyl)methyl]amino)sulfonyl]-2-methyl-1-oxopropyl]-, 4-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

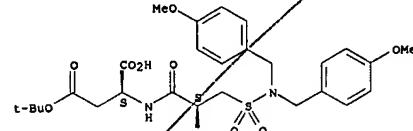
(Continued)



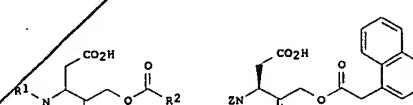
RN 206865-34-1 CAPLUS

CN L-Aspartic acid,  
N-[(2S)-3-[(bis[(4-methoxyphenyl)methyl]amino)sulfonyl]-2-methyl-1-oxopropyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



## 10560281full

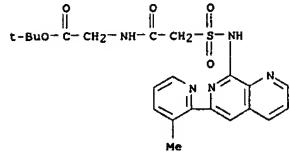
L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 inhibitor of interleukin-1 $\beta$  converting enzyme. Thus, substitution of Z-Asp(OCH<sub>3</sub>)-CH<sub>2</sub>Br (Z = PhCH<sub>2</sub>CO<sub>2</sub>C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester deriv. II. II inhibited ICE with K<sub>i</sub> = 0.460  $\mu$ M and IC<sub>50</sub> = 3.100  $\mu$ M, and inhibited Ich-2 (caspase-4) with IC<sub>50</sub> = 3.60  $\mu$ M, as detd. using in vitro assays. Related prep'd. compds. I (196 examples) were also tested for ICE inhibition (K<sub>i</sub> values of 0.00008 to 76  $\mu$ M and IC<sub>50</sub> values of 0.0013 to 32  $\mu$ M), and Ich-2 inhibition (IC<sub>50</sub> = 0.021 to 76  $\mu$ M).  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1998:25142 CAPLUS  
 DOCUMENT NUMBER: 128:88786  
 TITLE: Preparation of naphthyridines which affect IL-4 and G-CSF  
 INVENTOR(S): Solomon, Daniel M.; Grace, Michael J.; Fine, Jay S.; Bober, Loretta A.; Sherlock, Margaret H.  
 PATENT ASSIGNEE(S): Schering Corp., USA  
 SOURCE: PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748368	A2	19971224	WO 1997-US9202	19970618
WO 9748368	A3	19980205		
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, TD, TG				
CA 2258752	A1	19971224	CA 1997-2258752	19970618
CA 2258752	C	20060815		
AU 9735673	A	19980107	AU 1997-35673	19970618
EP 912571	A2	19990506	EP 1997-932142	19970618
EP 912571	B1	20040804		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI, RO				
CN 1228090	A	19990908	CN 1997-197310	19970618
JP 2002051472	T	20020115	JP 1998-502998	19970618
AT 272636	T	20040815	AT 1997-932142	19970618
ES 2225980	T3	20050316	ES 1997-932142	19970618
PRIORITY APPLN. INFO.:			US 1996-669185	A 19960620
			WO 1997-US9202	W 19970618

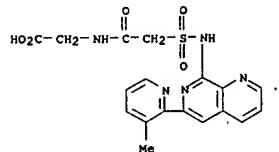
OTHER SOURCE(S): MARPAT 128:88786  
 IT 200927-98-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of naphthyridines which affect IL-4 and G-CSF)  
 RN 200927-98-6 CAPLUS  
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

## L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



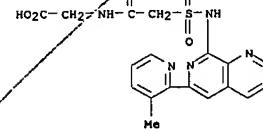
IT 200927-75-9P 200927-76-0P 200927-77-1P  
 200927-78-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of naphthyridines which affect IL-4 and G-CSF)

RN 200927-75-9 CAPLUS  
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]- (9CI) (CA INDEX NAME)



RN 200927-76-0 CAPLUS  
 CN Glycine, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, monosodium salt (9CI) (CA INDEX NAME)

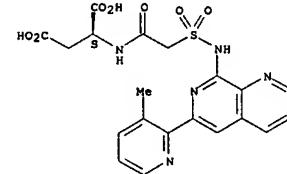
## L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● Na

RN 200927-77-1 CAPLUS  
 CN L-Aspartic acid, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

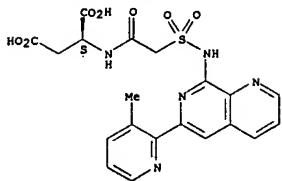


RN 200927-78-2 CAPLUS  
 CN L-Aspartic acid, N-[{[6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl]amino}sulfonyl]acetyl]-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10560281full

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

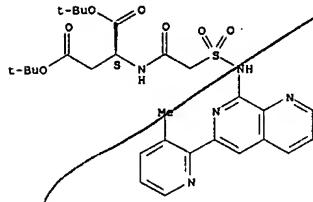


L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
W, T, U, V = CH, N, N(O); Y = H, Me; Y1 = H, lower alkyl, Ph, etc.; O = H, lower alkyl, lower alkyl O(O)CCH2, lower alkyl (O)C; a, b, c, g, h, j = 0-1; f = 1-2; n = 1-6; tt = 0-1; R8 = H, OH, halo, etc.) and their pharmaceutically acceptable salts, useful in the treatment of allergy, inflammation, autoimmune diseases, B-cell lymphomas, tumors, and the after effects of bone marrow transplantation, were prep'd. Thus, reaction of 8-amino-6-(3-methyl-2-pyridyl)-1,7-naphthyridine with N-acetyltaurufanil chloride in the presence of Et3N and DMAP in CH2Cl2 afforded the title compd. IV which resulted in a 4-5-fold increase in G-CSF levels, with an EC50 of 15  $\mu$ M.

● 2 Na

IT 200928-49-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of naphthyridines which affect IL-4 and G-CSF)  
RN 200928-49-0 CAPLUS  
CN L-Aspartic acid, N-[{[(6-(3-methyl-2-pyridinyl)-1,7-naphthyridin-8-yl)amino]sulfonyl}acetyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*  
AB The title compds. (I; E = II, III, etc.; A = CH, S, N, N(O); L, M, X, Z,

L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:366195 CAPLUS

DOCUMENT NUMBER: 127:95223

TITLE: Properties and reactions of substituted 1,2-thiazetidine 1,1-dioxides. Synthesis of N-substituted 4,4-dimethyl-1,2-thiazetidin-3-one 1,1-dioxides, and a new base-catalyzed rearrangement to thiazolidin-4-one 1,1-dioxides

AUTHOR(S): Glasl, Dietmar; Riba, Gretel; Otto, Hans Hartwig  
Inst. Pharmaceutical/Medicinal Chem., Univ.

CORPORATE SOURCE: Greifswald, Greifswald, D-17487, Germany  
Helvetica Chimica Acta (1997), 80(3), 671-683

SOURCE: CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

DOCUMENT TYPE: Journal

LANGUAGE: English

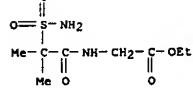
OTHER SOURCE(S): CASREACT 127:95223

IT 192075-05-1P 192075-07-3P

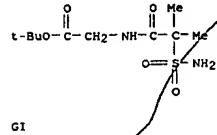
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of thiazetidinone dioxides and base-catalyzed rearrangement to thiazolidinone dioxides)

RN 192075-05-1 CAPLUS

CN Glycine, N-[2-(aminosulfonyl)-2-methyl-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)

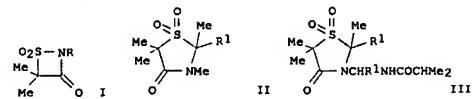


RN 192075-07-3 CAPLUS  
CN Glycine, N-[2-(aminosulfonyl)-2-methyl-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



GI

L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Alkylation of 3-oxo-1,2-thiazetidine 1,1-dioxide I (R = H) yields various N-alkylated 3-oxo- $\beta$ -sultams of type I (R = alkyl). Solvolysis with NaOH or NH3 selectively opens the N-S bond forming sulfonate carboxamides NaO3SCMe2CONH<sub>2</sub> (R = PhCH<sub>2</sub>, EtO2CCH<sub>2</sub>, 4-BrC6H4COCH<sub>2</sub>) and sulfonamido carboxamides H2NO2SCMe2CONH<sub>2</sub> (R = EtO2CCH<sub>2</sub>, 4-BrC6H4COCH<sub>2</sub>, Me3CO2CCH<sub>2</sub>). Furthermore, the acylthiazetidines I (R = BrCH2CO, MeOCH2CO, Ac, Me2CHCO) are prepared, representing a strained 4-membered ring with a diacylated, sulfonated N-atom. Depending upon the reaction conditions, I (R CH2R; R1 = EtO2C, 4-BrC6H4CO, Me3CO2C, PhCH2O2C) are rearranged by base-catalyzed reactions to give the corresponding 4-oxothiazolidine 1,1-dioxides II or III.

10560281full

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:497116 CAPLUS

DOCUMENT NUMBER: 125:142303

TITLE: Preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents and pH indicators

INVENTOR(S): Niedballa, Ulrich; Platzek, Johannes; Raduechel, Bernd; Frenzel, Thomas; Bauer, Hans Dr

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Ger. Offen., 24 pp.

CODEN: GMXKBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
DE 4447389	A1	19960627	DE 1994-4447389	19941222	
US 5666492	A	19971111	US 1995-487092	19950606	
CA 2208341	A1	19960627	CA 1995-2208341	19951208	
WO 9619447	A1	19960627	WO 1995-EP4825	19951208	
W: CA, JP, NO					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 799197	A1	19971008	EP 1995-941078	19951208	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE	JP 11500414	T	19990112	JP 1995-519465	19951208
NO 9702876	A	19970620	NO 1997-2876	19970620	
PRIORITY APPLN. INFO.:			DE 1994-4447389	A 19941222	
			WO 1995-EP4825	W 19951208	

OTHER SOURCE(S): MARPAT 125:142303

IT 179946-69-1P 179946-72-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents)

RN 179946-69-1 CAPLUS

CN Alanine,

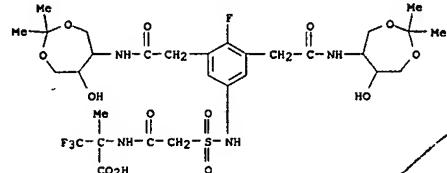
3,3,3-trifluoro-N-[(4-fluoro-3,5-bis[2-[(6-hydroxy-2,2-dimethyl-

(9CI) (CA INDEX NAME)

1,3-dioxepan-5-yl)amino]-2-oxoethyl]phenyl]amino]sulfonyl]acetyl]-2-methyl-

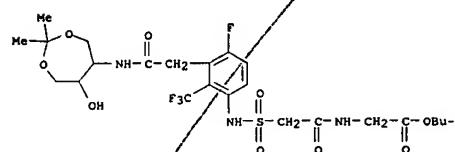
(9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 179946-72-6 CAPLUS

CN Glycine, N-[(4-fluoro-3-2-[(6-hydroxy-2,2-dimethyl-1,3-dioxepan-5-yl)amino]-2-oxoethyl]-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 179946-30-6P 179946-31-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-(fluorophenyl)sulfonamides as NMR diagnostic agents)

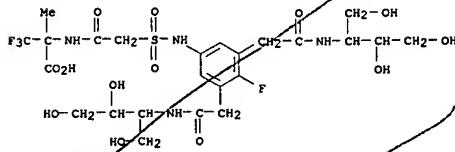
RN 179946-30-6 CAPLUS

CN Alanine,

N-[(3,5-bis[2-[(2,3-dihydroxy-1-(hydroxymethyl)propyl]amino]-2-oxoethyl]-4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]-3,3-trifluoro-2-methyl-

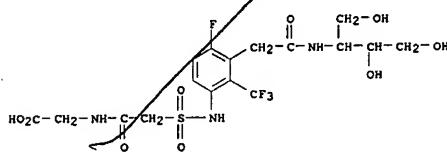
(9CI) (CA INDEX NAME)

L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

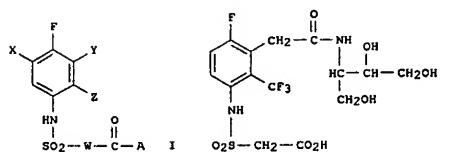


RN 179946-31-7 CAPLUS

CN Glycine, N-[(3-2-[(2,3-dihydroxy-1-(hydroxymethyl)propyl]amino)-2-oxoethyl]-4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl]- (9CI) (CA INDEX NAME)



GI



AB Substituted N-(4-fluorophenyl)sulfonamides I (Z = CF<sub>3</sub>, H; Y = alkyl,

hydroxalkyl, etc.; X = H, alkyl, hydroxalkyl, etc.; W = alkylenes, n =

0-1; A = hydroxy, alkoxy, trifluoromethyl, etc.) were disclosed.

Diagnostic agents containing I were claimed, such as NMR diagnostic

agents and

agents for in vivo measurement of pH. An example compound was 3-[(carboxymethyl)sulfonylamino]-6-fluoro-N-(2,3-dihydroxy-1-(hydroxymethyl)propyl)-2-(trifluoromethyl)benzenacetamide (II).

L6 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:65786 CAPLUS

DOCUMENT NUMBER: 120:65786

TITLE: Processing composition for silver halide color photographic material and processing method

INVENTOR(S): Okada, Hisashi; Yagihara, Morio; Nakamura, Shigeru

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

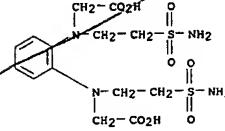
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5217855	A	19930608	US 1991-735558	19910725
JP 05333506	A	19931217	JP 1991-157442	19910603
PRIORITY APPLN. INFO.:			JP 1990-196972	A 19900725
			JP 1991-157442	A 19910603

IT 152198-30-2 RL: USES (Uses)

(in processing solution for photog.)

RN 152198-30-2 CAPLUS

CN Glycine, N,N'-1,2-phenylenebis[N-(2-(aminosulfonyl)ethyl)]- (9CI) (CA INDEX NAME)



AB The title composition contains ≥1 of R1N(L1X)L2Y (R1 = H or an aliphatic or aromatic group; L1, L2 = a divalent bonding group including an alkylene group and/or an arylene group; X = SONRaRb or NRcSO2Rd where Ra, Rb, and Rd each represents a hydrogen atom, an aliphatic group, or an aromatic group and Rd represents an aliphatic group or an aromatic group; and Y represents a carboxy group, a hydroxy group, a phosphono group, a sulfo group, or a salt thereof). The composition does not produce precipitate or sludge even when contaminated by metallic ions. A processing method using the composition is also disclosed.

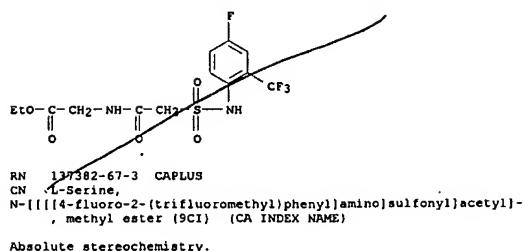
10560281full

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1991:679606 CAPLUS  
 DOCUMENT NUMBER: 115:279606  
 TITLE: Fluorobenzenesulfonamides: preparation and use as diagnostic agents  
 INVENTOR(S): Gries, Heinz; Niedballa, Ulrich; Weinmann, Hanns Joachim; Bauer, Hans  
 PATENT ASSIGNEE(S): Schering A.-G., Germany  
 SOURCE: Eur. Pat. Appl., 45 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 447013	A1	19910918	EP 1991-250069	19910312
EP 447013	B1	19940803		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE DE 4008179	A1	19910919	DE 1990-4008179	19900312
JP 04217654	A	19920807	JP 1991-43373	19910308
NO 9100957	A	19910913	NO 1991-957	19910311
NO 175146	B	19940530		
NO 175146	C	19940907		
CA 2038084	A1	19910913	CA 1991-2038084	19910312
ZA 9101815	A	19911224	ZA 1991-1815	19910312
US 5210290	A	19930511	US 1991-667309	19910312
ES 2057745	T3	19941016	ES 1991-250069	19910312
PRIORITY APPLN. INFO.:		DE 1990-4008179	A	19900312

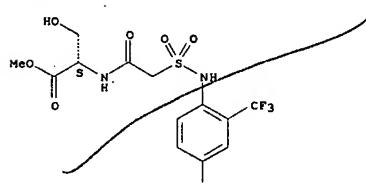
OTHER SOURCE(S): MARPAT 115:279606  
 IT 137382-66-2P 137382-67-3P 137382-68-4P  
 137382-70-BP 137382-71-9B 137382-72-0P  
 137382-74-2P 137382-86-6P 137382-87-7P  
 137382-89-9P 137383-04-1P 137383-05-2P  
 137383-06-3P 137383-07-4P 137383-08-5P  
 137383-10-9P 137535-08-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and saponification of)  
 RN 137382-66-2 CAPLUS  
 CN Glycine,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 137382-67-3 CAPLUS  
 CN L-Serine,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

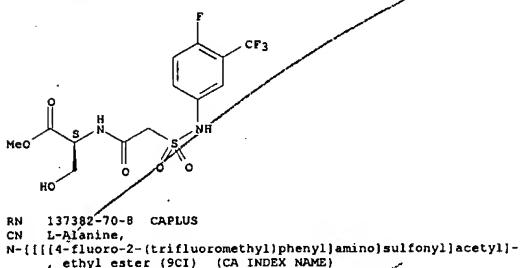


RN 137382-68-4 CAPLUS

CN L-Serine,  
 N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

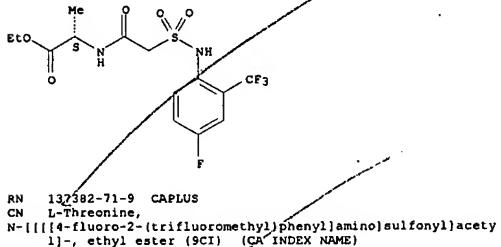
Absolute stereochemistry.

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



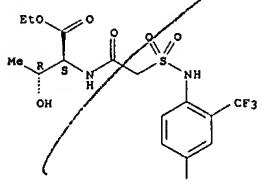
RN 137382-70-B CAPLUS  
 CN L-Alanine,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137382-71-9 CAPLUS  
 CN L-Threonine,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

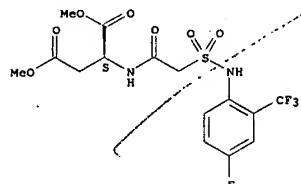
Absolute stereochemistry.



L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

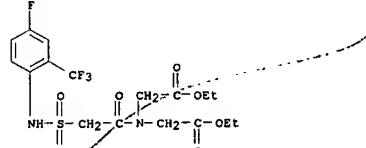
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 CN L-Aspartic acid,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137382-74-2 CAPLUS

CN Glycine, N-(2-ethoxy-2-oxoethyl)-N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 137382-86-6 CAPLUS

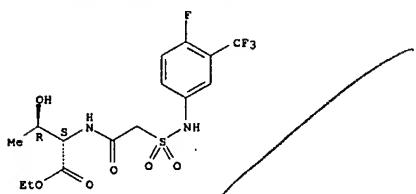
CN L-Threonine,  
 N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Karen Cheng

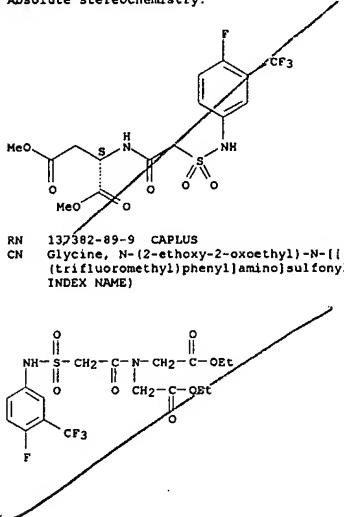
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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

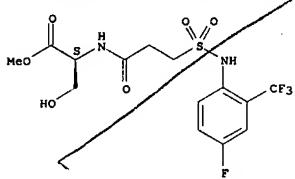


RN 137382-87-7 CAPLUS  
CN L-Aspartic acid,  
N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

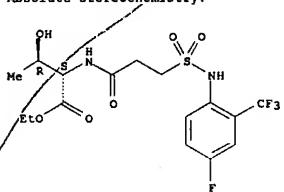


L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



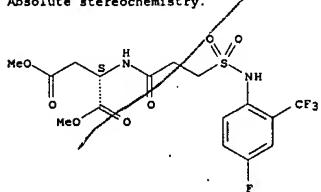
RN 137383-07-4 CAPLUS  
CN L-Threonine,  
N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-08-5 CAPLUS  
CN L-Aspartic acid,  
N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl)-, dimethyl ester (9CI) (CA INDEX NAME)

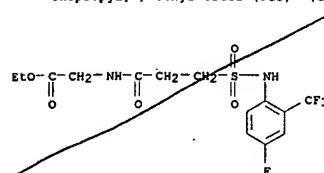
Absolute stereochemistry.



RN 137383-10-9 CAPLUS  
CN Glycine, N-(2-ethoxy-2-oxoethyl)-N-[(4-fluoro-2-

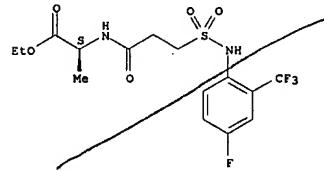
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 137383-04-1 CAPLUS  
CN Glycine, N-[3-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 137383-05-2 CAPLUS  
CN L-Alanine, N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl)-, ethyl ester (9CI) (CA INDEX NAME)

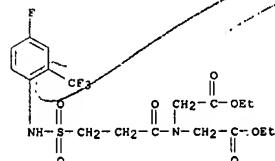
Absolute stereochemistry.



RN 137383-06-3 CAPLUS  
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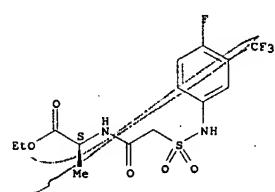
Absolute stereochemistry.

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
(trifluoromethyl)phenyl)amino]sulfonyl]-1-oxopropyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 137383-08-1 CAPLUS  
CN L-Alanine,  
N-[(4-fluoro-3-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



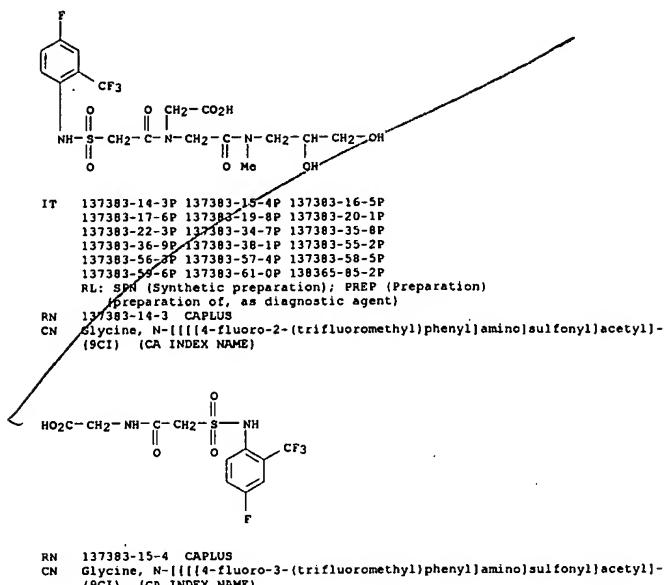
IT 137383-66-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 137383-66-5 CAPLUS  
CN Glycine, N-[(2,3-dihydroxypropyl)methylamino]-2-oxoethyl)-N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl)- (9CI) (CA INDEX NAME)

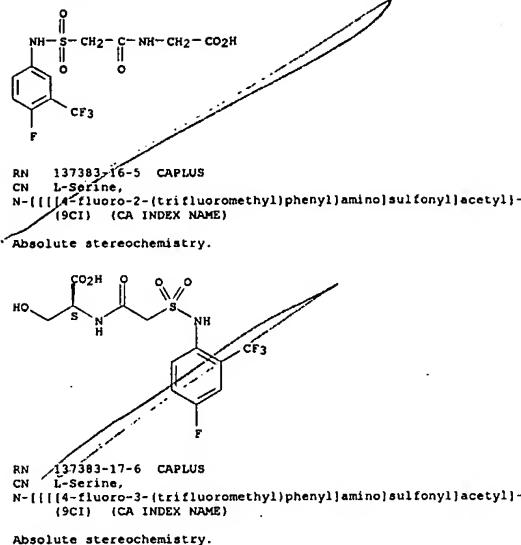
Karen Cheng

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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



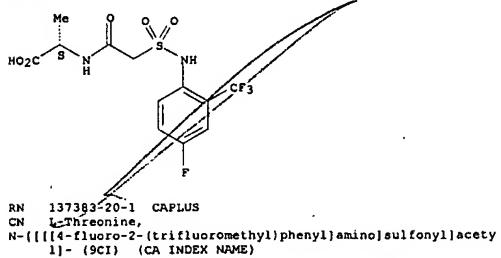
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



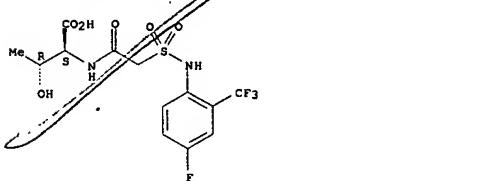
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 137383-19-8 CAPLUS  
 CN L-Alanine,  
 N-[(4-fluoro-2-(trifluoromethyl)phenyl)amino]sulfonyl]acetyl]- (9CI) (CA INDEX NAME)

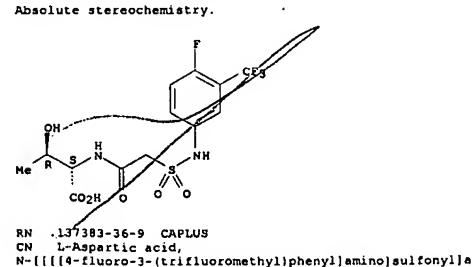
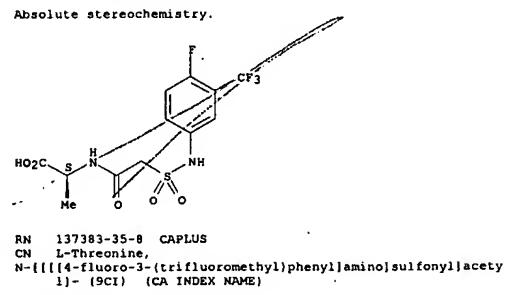
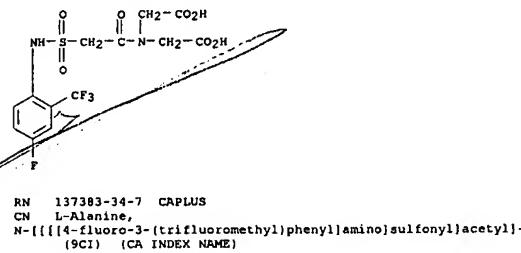
Absolute stereochemistry.



Absolute stereochemistry.



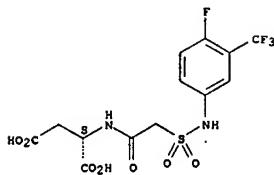
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



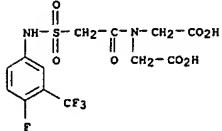
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L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
cetyl) - (9CI) (CA INDEX NAME)

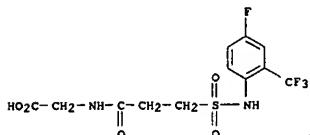
Absolute stereochemistry.



RN 137383-38-1 CAPLUS  
CN Glycine, N-(carboxymethyl)-N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]acetyl - (9CI) (CA INDEX NAME)

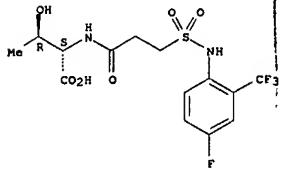


RN 137383-55-2 CAPLUS  
CN Glycine, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)



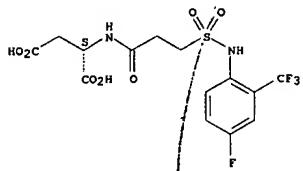
RN 137383-56-3 CAPLUS  
CN L-Alanine, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

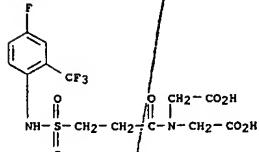


RN 137383-59-6 CAPLUS  
CN L-Aspartic acid, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-61-0 CAPLUS  
CN Glycine, N-(carboxymethyl)-N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

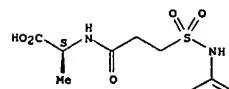


RN 138365-85-2 CAPLUS  
CN L-Aspartic acid, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-

Karen Cheng

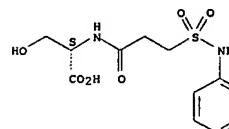
L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
oxopropyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 137383-57-4 CAPLUS  
CN L-Serine, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

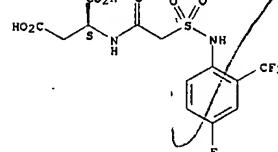


RN 137383-58-5 CAPLUS  
CN L-Threonine, N-[3-[[4-fluoro-2-(trifluoromethyl)phenyl]amino]sulfonyl]-1-oxopropyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
cetyl) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A process for the preparation of N-(fluorinated phenyl) sulfonamides 4-F(F3C)C6H3NHSO2(CH2)m(C6H4)nCOY (I; m = 0-4; n = 0, 1; Y = residue of an aminocarboxylic or aminosulfonic acid) comprises the treatment of 4-F(F3C)C6H3NHSO2(CH2)m(C6H4)nCO2H with an optionally protected amino acid, removal of the protective groups, and treatment of the product thus formed with an amine. Et3N (500 mg) and dicyclohexylcarbodiimide (1.03 g) were added to a mixture of DMF (100 mL), 2-[N-[4-fluoro-2-(trifluoromethyl)phenyl]sulfamoyl]acetic acid (1.561 g), Et glycinate hydrochloride (700 mg), and hydroxybenzotriazole hydrate (766 mg) to give 77.7% Et 2-[N-[4-fluoro-2-(trifluoromethyl)phenyl]sulfamoyl]acetylamino acetate (II). Saponification of II gave the acid. I are useful as diagnostic agents for NMR tomog. of the renal organs: they have potential use as sulfonamide-type bactericides (no data).

10560281full

L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1990:437088 CAPLUS  
 DOCUMENT NUMBER: 113:37088  
 TITLE: Peptide analogs as haptens to elicit catalytic antibodies  
 INVENTOR(S): Titmas, Richard C.; Hansen, David E.; Hong, Wonpyo; Booth, Paul M.; Powell, Michael J.; Rees, Anthony R.; Messer, Richard J.  
 PATENT ASSIGNEE(S): IGEN Inc., USA  
 SOURCE: PCT Int. Appl., 215 pp.  
 CODEN: PIKXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 19  
 PATENT INFORMATION:

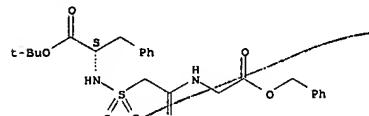
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8910961	A1	19891116	WO 1989-US1951	19890504
W; AU, DK, FI, JP, KR, NO, US RW; AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
ZA 8903284	A	19900328	ZA 1989-3284	19890503
AU 8937393	A	19891129	AU 1989-37393	19890504
AU 643186	B2	19931111		
EP 413762	A1	19910227	EP 1989-906570	19890504
EP 413762	B1	20000712		
R; AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 05501949	T	19930415	JP 1989-506288	19890504
JP 2772088	B2	19890702		
AT 135235	T	19960315	AT 1989-906520	19890504
EP 701818	A2	19960320	EP 1995-111577	19890504
EP 701818	A3	19970604		
EP 701818	B1	20030730		
R; AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
IL 90200	A	19970415	IL 1989-90200	19890504
CA 1340485	C	19990406	CA 1989-598754	19890504
JP 11152232	A	19990608	JP 1998-211311	19890504
AT 194649	T	20000715	AT 1989-906570	19890504
AT 246004	T	20030815	AT 1995-111577	19890504
CA 1341478	C	20050405	CA 1989-598697	19890504
US 6259360	B1	20010710	US 1994-325554	19941018
US 6702705	B1	20040309	US 1995-392407	19950222
US 6521432	B1	20030218	US 1995-479849	19950607
US 6946272	B1	20050920	US 1999-303716	19990430
US 2002045231	A1	20020418	US 2001-817502	20010326
PRIORITY APPLN. INFO.:			US 1988-190271	A2 19880504
		US 1983-556016	B1 19831129	
		US 1984-674253	A2 19841127	
		IL 1984-73685	A0 19841129	
		EP 1989-906520	A3 19890504	
		JP 1989-505991	A3 19890504	

L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 WO 1989-US1950 A2 19890504  
 WO 1989-US1951 A 19890504  
 US 1989-364077 A1 19890608  
 US 1990-498225 A2 19900323  
 US 1991-700210 B2 19910612  
 US 1991-740501 B2 19910805  
 US 1991-761868 A2 19910903  
 US 1991-773042 B1 19911010  
 US 1992-837660 A1 19920214  
 US 1993-52490 A2 19930423  
 US 1993-132121 B1 19931005  
 US 1994-333237 A1 19941102  
 US 1999-241876 A1 19990202

OTHER SOURCE(S): MARPAT 113:37088  
 IT 127949-31-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, in preparation of peptide analogs as haptens for catalytic monoclonal antibody production)

RN 127949-31-9 CAPLUS  
 CN L-Phenylalanine,  
 N-[2-[(2-oxo-2-[(2-oxo-2-[(phenylmethoxy)ethyl]amino)ethyl]sulfonyl)-1fonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

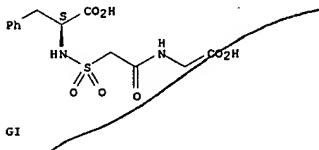
Absolute stereochemistry.



IT 127949-12-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (synthesis of, as hapten for production of catalytic monoclonal antibodies)

L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 RN 127949-12-6 CAPLUS  
 CN L-Phenylalanine, N-[2-[(carboxymethyl)amino]-2-oxoethyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Cys-Leu-Arg-Tyr-Ser-Thr-C-CF2-Gly-Thr-Val-Cys I

AB Synthetic haptens are prepared and used to stimulate production of catalytic antibodies. The haptens are designed such that the corresponding antibodies will selectively stabilize  $\alpha$ 1 of the high energy intermediates or transition states in the cleavage or formation of an amide, ester, or glycosidic bond. There are 3 classes of haptens: (1) those in which the hybridization of the atom corresponding to the carbonyl carbon of the scissile bond of the amide or ester is converted from  $sp^2$  to  $sp^3$  hybridization; (2) those in which any of the atoms is replaced by a different atom, e.g. C may be replaced with P, S, Si, or B; and (3) those in which the atoms are part of a mono- or bicyclic system. Antibody-producing cells elicited by these haptens are used to prepare monoclonal antibodies and these are screened for catalytic activity. Cyclic peptide I, containing a difluoroketone transition state analog, was synthesized. The natural analog of this peptide includes residues 85 and 86 of the "flap" region of human renin. Cleavage of this bond disrupts binding of substrate to the catalytic site. The haptic was conjugated to keyhole limpet hemocyanin using glutaraldehyde and used to prepare monoclonal antibodies using standard procedures. These antibodies were found to inhibit renin activity in human plasma.

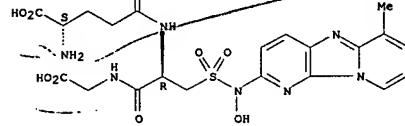
L6 ANSWER 16 OF 28 CAPLUS. COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1989:434941 CAPLUS  
 DOCUMENT NUMBER: 111:34941  
 TITLE: FAB-mass spectral analyses of the binding structures of 2-nitroso-6-methyldipyrido[1,2-a:3',2'-d]imidazole

imidazole (NO-Glu-P-1) to SH groups of hemoglobin and glutathione  
 Umemoto, Atsushi; Yamazumi, Ziro; Grivas, Spiros;  
 Tsuda, Mitsuhiro; Monden, Yasumasa; Sato, Sigeaki;  
 Sugimura, Takashi  
 CORPORATE SOURCE: Res. Inst. Natl. Cancer Cent., Japan  
 SOURCE: Iyo Masu Kenkyukai Koenshu (1988), 13, 221-4  
 CODEN: KIMKD; ISSN: 0910-870X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Japanese  
 IT 119644-87-0  
 RL: FORM (Formation, nonpreparative)  
 (formation of, via nitroso-Glu-P-1 reaction with glutathione and Hbs)

RN 119644-87-0 CAPLUS

CN Glycine, N-[N-L- $\gamma$ -glutamyl-3-[(hydroxy(6-methyldipyrido[1,2-a:3',2'-d]imidazol-2-yl)amino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



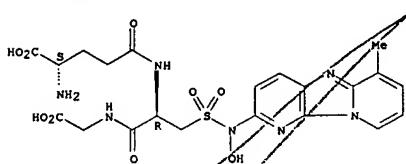
AB To study the possible detoxification mechanisms of the carcinogenic arylamine, 2-amino-6-methyldipyrido[1,2-a:3',2'-d]imidazole (Glu-P-1), the non-enzymatic reactions of 2-nitroso-6-methyldipyrido[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) with GSH and Hb were examined. Two GSH adducts were isolated and found to contain the Glu-P-1 and GSH moieties in a 1:1 molar ratio by way of an N-S linkage. Their structures were assigned as sulfinamide ( $-\text{NH}-\text{SO}_2-$ ) and N-hydroxysulfonamide ( $-\text{N}(\text{OH})-\text{SO}_2-$ ) by UV,  $^{1}\text{H-NMR}$ , FT-IR and FAB-MS. The N-hydroxy-sulfonamide structure is a newly found form of arylnitroso compds. and SH groups. The binding between NO-Glu-P-1 and SH groups of Hb was also formed in a 4:1 molar ratio maximally. The in vivo oxidation of toxic arylamines and their subsequent binding to the SH groups of GSH and Hb can be considered as one of their detoxification pathways.

Karen Cheng

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L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1989:130245 CAPLUS  
 DOCUMENT NUMBER: 110:130245  
 TITLE: Non-enzymic glutathione conjugation of 2-nitroso-6-methylidipyrido[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) in vitro: N-hydroxy-sulfonamide, a new binding form of arylnitroso compounds and thiols  
 AUTHOR(S): Umemoto, Atsushi; Grivas, Spiros; Yamazumi, Ziro;  
 Sato, Shigeaki; Sugimura, Takashi  
 CORPORATE SOURCE: Natl. Cancer Cent. Res. Inst., Tokyo, 104, Japan  
 SOURCE: Chemico-Biological Interactions (1988), 68(1-2), 57-69  
 CODEN: CBINAS; ISSN: 0009-2797  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 119644-87-OP  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, arylamine detoxication in vivo in relation to)  
 RN 119644-87-0 CAPLUS  
 CN Glycine, N-[N-L-y-glutamyl-3-[(hydroxy(6-methylidipyrido[1,2-a:3',2'-d]imidazol-2-yl)amino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



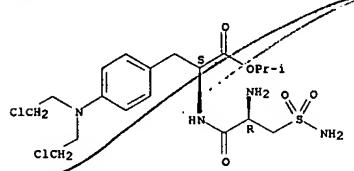
AB To study the possible detoxification mechanisms of the carcinogenic arylamine, 2-amino-6-methylidipyrido[1,2-a:3',2'-d]imidazole (Glu-P-1), in the in vitro/nonenzymic reaction of 2-nitroso-6-methylidipyrido[1,2-a:3',2'-d]imidazole (NO-Glu-P-1) with reduced glutathione (GSH) was examined at pH 7.4 under both aerobic and anaerobic conditions. Two GSH-arylamine adducts were isolated and found to contain the Glu-P-1 and GSH moieties in a 1:1 molar ratio via a N-S linkage. Their structures were assigned as sulfonamide ( $-NH-SO_2-$ ) and N-hydroxysulfonamide ( $-N(OH)-SO_2-$ ) by their behavior under acidic and basic conditions and by UV-VIS,  $^1H$ -NMR, IR, and mass spectrometries. Also, a N-hydroxysulfonamide adduct was produced when NO-Glu-P-1 and cysteine were reacted at pH 7.4. The N-hydroxysulfonamide structure is a new binding form between arylnitroso compds. and thiols. The formation of these adducts may also take place in vivo as a detoxification of toxic arylamines since GSH is abundant in organs such as liver or kidney.

L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

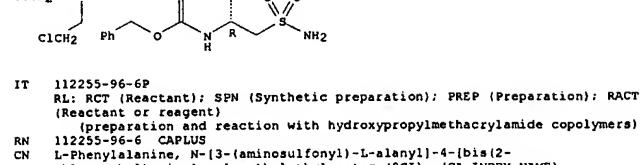
L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1988:179679 CAPLUS  
 DOCUMENT NUMBER: 100:179679  
 TITLE: Polymer-bound derivatives of sarcolysin and their antitumor activity against mouse and human leukemia  
 in vitro  
 AUTHOR(S): Ulbrich, Karel; Zacharieva, Ekaterina I.; Kopecek, Jindrich; Hume, Isabella C.; Duncan, Ruth  
 CORPORATE SOURCE: Inst. Macromol. Chem., Czech. Acad. Sci., Prague, 16206, Czech.  
 SOURCE: Makromolekulare Chemie (1987), 188(11), 2497-509  
 CODEN: MACEAK; ISSN: 0025-116X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 IT 112255-96-6DP, reaction products with hydroxypropylmethacrylamide polymers  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antileukemia activity of)  
 RN 112255-96-6 CAPLUS  
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



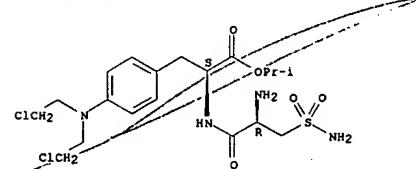
IT 112255-95-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and deprotection of)  
 RN 112255-95-5 CAPLUS  
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 112255-96-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction with hydroxypropylmethacrylamide copolymers)  
 RN 112255-96-6 CAPLUS  
 CN L-Phenylalanine, N-[3-(aminosulfonyl)-L-alanyl]-4-[bis(2-chloroethyl)amino]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A series of N-(2-hydroxypropyl)methacrylamide (HPMA) copolymers containing different oligopeptide side-chains terminated in an alkylating anticancer agent-sarcosin iso-Oip ester (SL-Oip) and occasionally fucosylamine were prepared. In the 1st step reactive polymeric precursors were prepared by radical precipitation copolymer of HPMA with p-nitrophenyl esters of N-methacryloylated dipeptides (Gly-Phe or Gly-Leu). In the 2nd step the former were aminolized with a dipeptide or amino acid derivs. of SL-Oip, thus forming tripeptide or tetrapeptide side-chains terminated in SL-Oip. Two of the polymers synthesized contained also fucosylamine as the terminal moiety, which was introduced as a targeting moiety, able to interact with fucose-specific membrane receptors of mouse leukemia L 1210 cells. These polymers were synthesized by consecutive aminolysis of reactive polymeric precursors with fucosylamine and SL-Oip derivs. To test the effect of the oligopeptide side-chain structure on the rate of drug release, the polymers synthesized were incubated with a mixture of lysosomal enzymes isolated from rat liver (tritosomes) and with cathepsin B. The relationship between the structure of polymer bound anticancer drugs and their biol. activity was determined in vivo by their effect on the growth of mouse leukemia L 1210 cells and human lymphoblastoid leukemia (CCRF) cells. The results demonstrate the potential of these compds. as

10560281full

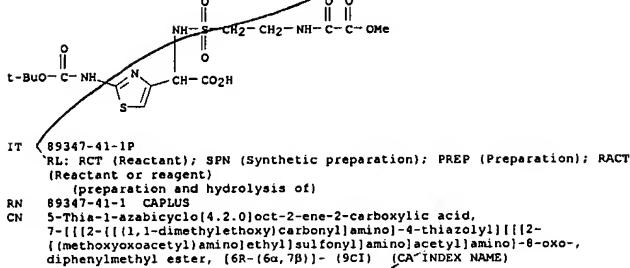
L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
new types of targetable anticancer agents.

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 100:209513 CAPLUS  
DOCUMENT NUMBER: 100:209513  
TITLE: Cephalosporin derivatives and their pharmaceutical compositions  
INVENTOR(S): Kocsis, Karoly; Wiederkehr, Rene; Wehrli, Hansuli  
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.  
SOURCE: Eur. Pat. Appl., 287 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

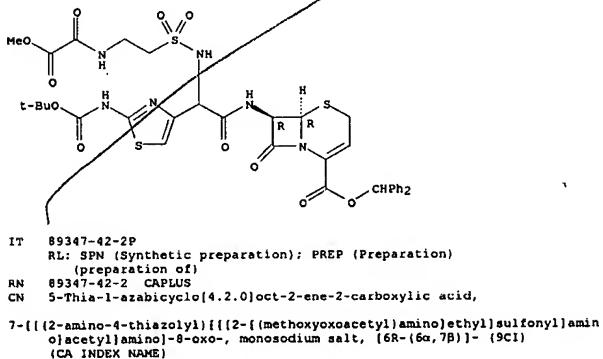
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 92830	A2	19831102	EP 1983-104037	19830425
EP 92830	A3	19841227		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
FI 8301381	A	19831028	FI 1983-1381	19830422
GB 2118942	A	19831109	GB 1983-11222	19830425
GB 2118942	B	19850724		
ES 521824	A1	19850501	ES 1983-521824	19830425
DK 8301853	A	19831028	DK 1983-1853	19830426
NO 8301470	A	19831028	NO 1983-1470	19830426
AU 8313951	A	19831103	AU 1983-13951	19830426
HU 28778	A2	19831228	HU 1983-1436	19830426
HU 188459	B	19860428		
DD 207720	A5	19840314	DD 1983-250223	19830426
ZR 8302918	A	19840829	ZR 1983-2918	19830426
JP 56194891	A	19831112	JP 1983-73135	19830427
ES 535195	A1	19850801	ES 1984-535195	19840816
			CH 1982-2568	A 19820427
			CH 1982-6504	A 19821109

PRIORITY APPLN. INFO.: MARPAT 100:209513  
IT 89347-43-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acylation of aminocephems by)  
RN 89347-43-3 CAPLUS  
CN 4-Thiazoleacetic acid, 2-[(1,1-dimethylethoxy)carbonyl]amino)- $\alpha$ -[(2-[(methoxycarbonyl)aminoethyl]sulfonyl)amino]acetyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

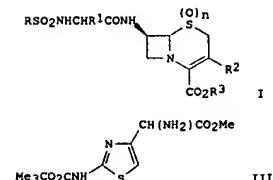
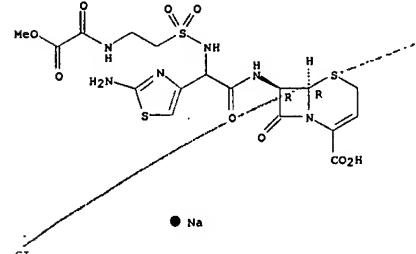


Absolute stereochemistry.



Absolute stereochemistry.

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Cephalosporins I [R = C-bonded organic; R1 = heterocyclic; R2 = H, (un)substituted alkyl, alkoxy, halogen; R3 = H, protective group; n = 0-2] were prepared. Thus (2S)-I (R = Me, R1 = 2-amino-4-thiazolyl, R2 = H, R3 = Na, II) was prepared from thiazolylacetate III and benzhydryl 7-amino-3-cephem-4-carboxylate in 4 steps. II had a min. inhibitory concentration against Escherichia coli 205 of 0.02  $\mu$ g/mL.

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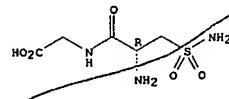


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L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 $\text{Z-Cys(O}_2\text{NH}_2\text{)-Lys(Z)-OEt}$  was coupled with H-Lys(Z)-OEt by the azide method to give I. Gram-neg. bacteria were resistant to the above peptide hydrobromides at 1,000  $\mu\text{g}/\text{ccm}$ , but some gram-pos. bacteria were susceptible to II and III at 500, 250, and 125  $\mu\text{g}/\text{ccm}$ .

L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1980:16279 CAPLUS  
 DOCUMENT NUMBER: 92:16279  
 TITLE: Antibacterial activity of some substituted cysteine sulfonamides and peptides containing cysteine sulfonamide  
 AUTHOR(S): Maneva, Lilijsana; Stoev, Stoitscho; Aleksiev, Boris;  
 Golovinsky, Evgeni  
 CORPORATE SOURCE: Inst. Molekularbiol., Chem. Technol. Hochsch., Sofia, Bulg.  
 SOURCE: Pharmazie (1979), 34(7), 423-5  
 CODEN: PHARAT; ISSN: 0031-7144  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 IT 72071-07-9P 72071-08-0P 72071-09-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation and antimicrobial activity of)  
 RN 72071-07-9 CAPLUS  
 CN Glycine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

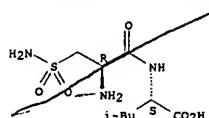


● HCl

RN 72071-08-0 CAPLUS  
 CN L-Leucine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

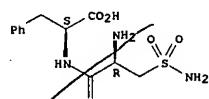
L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 72071-09-1 CAPLUS  
 CN L-Phenylalanine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

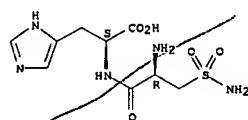
Absolute stereochemistry.



● HCl

RN 72071-10-4 CAPLUS  
 CN L-Histidine, N-(3-(aminosulfonyl)-L-alanyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

AB Cysteinesulfonamide-HCl (72120-67-3), some derivs. substituted in the sulfonamide group, and some dipeptides containing cysteine sulfonamide

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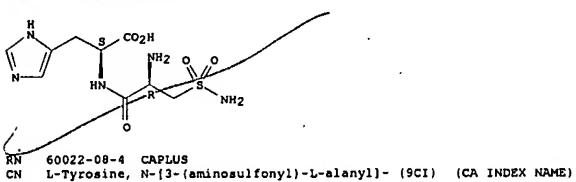
L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
 synthesized and tested for antimicrobial activity. All tested compds. were inhibitory, with the substituted derivs. of cysteinesulfonamide being more active than the parent compd.

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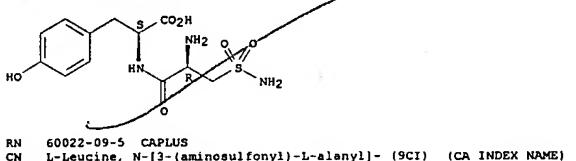
L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1976:487897 CAPLUS  
DOCUMENT NUMBER: 85:87897  
TITLE: Synthesis and antibacterial activity of peptides containing cysteic acid sulfonamides  
AUTHOR(S): Alekseev, B.; Stoev, S.; Golovinski, E.; Maneva, L.  
CORPORATE SOURCE: Vyash. Khim.-Tekhnol. Inst., Sofia, Bulg.  
SOURCE: Tezisy Dokl. - Vses. Simp. Khim. Pept. Belkov. 3rd (1974), 6. Akad. Nauk Ukr. SSR: Kiev, USSR.  
CODEN: 33GEA4

DOCUMENT TYPE: Conference  
LANGUAGE: Russian  
IT 60022-07-3 60022-08-4 60022-09-5  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(bactericidal activity of)  
RN 60022-07-3 CAPLUS  
CN L-Histidine, N-[3-(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



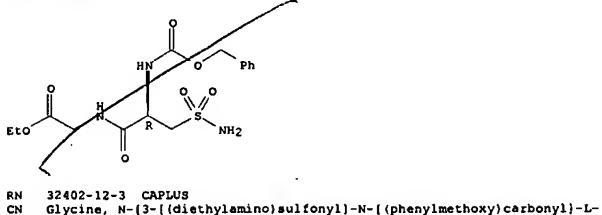
Absolute stereochemistry.



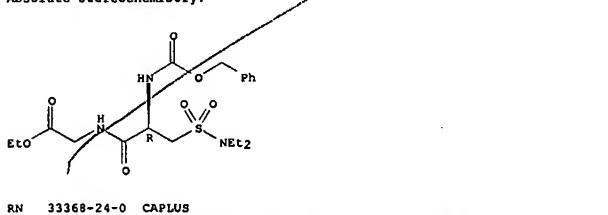
Absolute stereochemistry.

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1973:442821 CAPLUS  
DOCUMENT NUMBER: 79:42821  
TITLE: Reactions of sulfur-containing aminocarboxylic acids, peptides, and proteins with chlorine. IX. Synthesis of sulfonamide derivatives of L-cysteinylglycines  
AUTHOR(S): Stoev, S.; Alekseev, B.  
CORPORATE SOURCE: Bulg.  
SOURCE: Godishnik na Visshiya Khimikotekhnologicheski Institut, Sofiya (1971), Volume Date 1969, 16(2), 25-35  
CODEN: GVKIAH; ISSN: 0489-6211  
DOCUMENT TYPE: Journal  
LANGUAGE: Bulgarian  
IT 32402-06-5P 32402-12-3P 33368-24-0P  
33368-26-2P 33368-27-3P 33368-28-4P  
33368-29-5P 33368-30-6P 33368-31-9P  
33368-32-0P 33368-33-1P 34610-27-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 32402-06-5 CAPLUS  
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

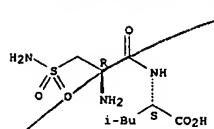


Absolute stereochemistry.



Karen Cheng

L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

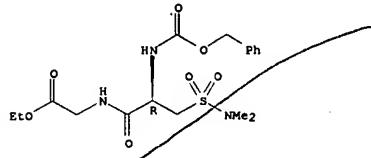


AB L-sulfamidocysteinyl-L-histidine [60022-07-3] was 2 times more active than L-sulfamidocysteinyl-L-tyrosine [60022-08-4] and 3 times more active than L-sulfamidocysteinyl-L-leucine [60022-09-5] in inhibiting the in vitro growth of Escherichia coli, Staphylococcus aureus, Sarcina lutea, and 2 staphylococcal mutants.

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

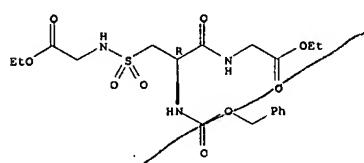
alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



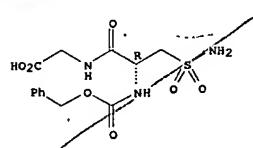
RN 33368-26-2 CAPLUS  
CN Glycine, N-[3-((2-ethoxy-2-oxoethyl)amino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-27-3 CAPLUS  
CN Glycine, N-[3-((aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



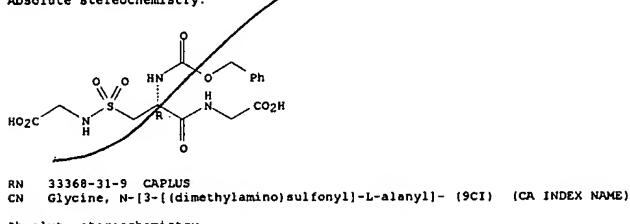
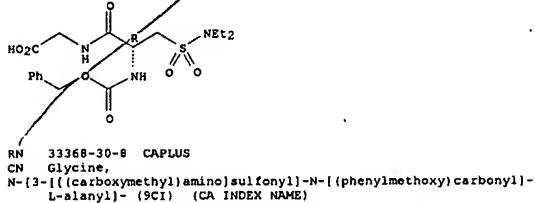
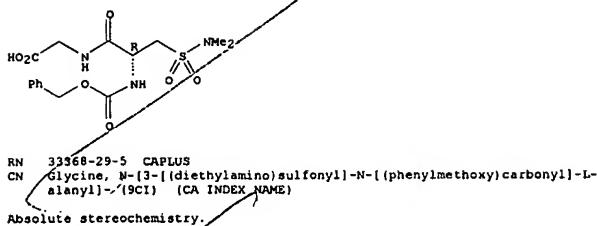
RN 33368-28-4 CAPLUS  
CN Glycine, N-[3-((dimethylamino)sulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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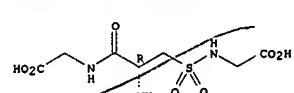
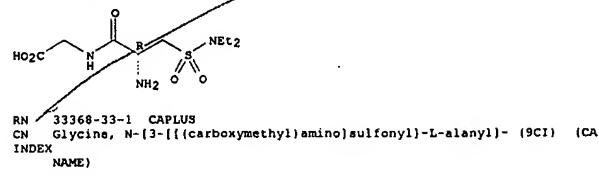
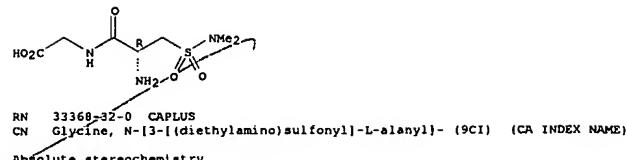
L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)



L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
sepon. of the latter afforded 73.4-81.0% RR1NSO2CH2CH(NHZ)CONH-H2CO2CO2H(R = R1 = H, Me, Et; R = H, R1 = CH2CO2H, resp.), which were hydrogenated over Pd to the corresponding RR1NS-O2CH2CH(NHZ)CONHCH2CO2H in 73.7-82.1% yield.

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Bis(benzyloxy carbonyl)-L-cysteinyldiglycine di-Et ester was treated with Cl in moist  $\text{CCl}_4$  at -20° to give  $\text{ClSO}_2\text{CH}_2\text{CH}(\text{NH}_2)\text{CO}-\text{NHC}$  with  $\text{H}_2\text{CO}_2\text{Et}$  ( $\text{Z} = \text{CO}_2\text{CH}_2\text{Ph}$ ), which reacted with  $\text{RNH}-\text{R} = \text{R}_1\text{H}, \text{Me}, \text{Et}; \text{R} = \text{H}, \text{R}_1 = \text{CH}_2\text{CO}_2\text{Et}$ ) to give  $\text{RR}_1\text{NS}-\text{CH}_2\text{CH}(\text{NH}_2)\text{CONHCH}_2\text{CO}_2\text{Et}$  in 57.6-82.5% yield;

L6 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1973:124864 CAPLUS

DOCUMENT NUMBER: 78-124864

TITLE: Preparation of indenonyl-modified amino acids and peptides

AUTHOR(S): Aleksiev, B. V.; Nishanyan, P. G.; Shamiyan, P. P.

CORPORATE SOURCE: Inst. Chem. Technol., Sofia, Bulg.

SOURCE: Doklady Bolgarskoj Akademii Nauk (1973), 26(1), 81-4

DOCUMENT TYPE: CODEN: DBANAD; ISSN: 0366-8681

LANGUAGE: Journal

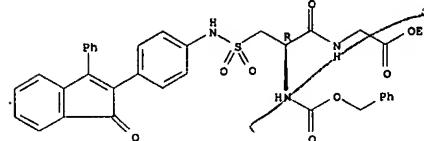
IT 40470-25-5P English

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 40470-25-5 CAPLUS

CN Glycine, N-[3-[[4-(1-oxo-3-phenyl-1H-inden-2-yl)phenyl]amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



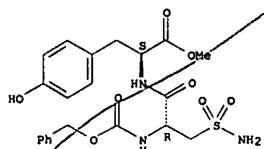
GI For diagram(s), see printed CA Issue.

AB Indenone derivs. I (R = Me,  $\text{CH}_2\text{CH}_2\text{SMe}$ ,  $\text{CH}_2\text{Me}_2$ ) and some analogous cysteine derivs. and cysteine-glycine dipeptides were in 60-100% yield by treating 2-(*p*-aminophenyl)-3-phenylindone (II) with the appropriate N-protected amino acid. In the reaction of II with  $\text{ClCH}_2\text{COBr}$ , substitution occurred at both halogens.

10560281full

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1971:541157 CAPLUS  
 DOCUMENT NUMBER: 75:141157  
 TITLE: Synthesis of peptides containing 2-amino-3-sulfamoylpropionic acid by the carbodiimide method  
 AUTHOR(S): Alekseev, Boris; Nisanjan, Parunag; Stoev, Stojco; Doseva, Veneta  
 CORPORATE SOURCE: Deutscher Wissenschaftsinst., Tech. Hochsch. Aachen, Aachen, Fed. Rep. Ger.  
 SOURCE: Hoppe-Seyler's Zeitschrift fuer Physiologische Chemie (1971), 352(10), 1411-16  
 CODEN: HSZPAZ; ISSN: 0018-4888  
 DOCUMENT TYPE: Journal  
 LANGUAGE: German  
 OTHER SOURCE(S): CASREACT 75:141157  
 IT 32402-04-3P 32402-06-5P 33642-60-3P  
 33642-67-0P 33891-63-3P 33891-64-4P  
 33891-66-6P 33891-68-8P 33891-69-9P  
 33891-73-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 32402-04-3 CAPLUS  
 CN Tyrosine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-06-5 CAPLUS  
 CN Glycine, N-[3-(aminosulfonyl)-N-(phenylmethoxy)carbonyl]-L-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 33891-64-4 CAPLUS  
 CN Valine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 33891-66-6 CAPLUS  
 CN Cystine, N,N'-bis(N-carboxy-3-sulfamoyl-L-alanyl)-, N,N'-dibenzyl diethyl ester, L- (8CI) (CA INDEX NAME)

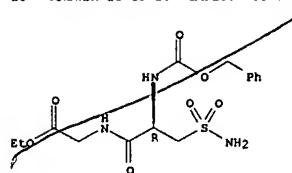
Absolute stereochemistry.

RN 33891-68-8 CAPLUS  
 CN Glycine, N-[N-(N-carboxy-3-sulfamoyl-L-alanyl)-L-valyl]-3-sulfamoyl-L-alanyl-, N-benzyl ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

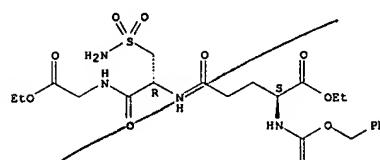
Karen Cheng

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



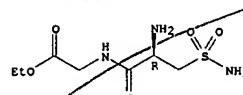
RN 33642-60-3 CAPLUS  
 CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-, N2-benzyl diethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-67-0 CAPLUS  
 CN Glycine, N-(3-sulfamoyl-L-alanyl)-, ethyl ester (8CI) (CA INDEX NAME)

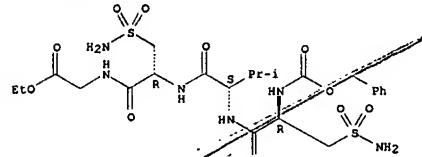
Absolute stereochemistry.



RN 33891-63-3 CAPLUS  
 CN Histidine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

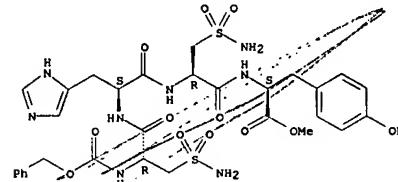
Absolute stereochemistry.

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



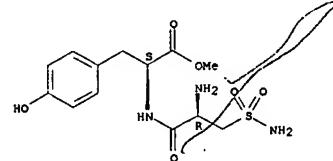
RN 33891-69-9 CAPLUS  
 CN Tyrosine, N-[N-(N-carboxy-3-sulfamoyl-L-alanyl)-L-histidyl]-3-sulfamoyl-L-alanyl-, N-benzyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33891-73-5 CAPLUS  
 CN Tyrosine, N-(3-sulfamoyl-L-alanyl)-, methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



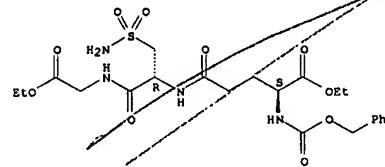
AB The carbodiimide method was suitable for the synthesis of peptides that contain the sulfonamide of cysteic acid (2-amino-3-sulfamoylpropionic acid). A number of di-, tri-, tetra-, and pentapeptides were synthesized by condensation of 2-amino-3-sulfamoylpropionic acid, protected at the

10560281full

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
amino or the carboxy group, with the corresponding blocked amino acids  
and peptides. The new compds. were optically active. The yields were  
60-80%.

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 1971:518590 CAPLUS  
DOCUMENT NUMBER: 75:118590  
TITLE: Reaction of sulfur-containing aminocarboxylic acids,  
peptides, and proteins with chlorine. 7. Synthesis  
of sulfonamide derivatives of glutathione  
AUTHOR(S): Stoev, Stojco; Aleksiev, Boris  
CORPORATE SOURCE: Chem.-Technol. Inst., Sofia, Bulg.  
SOURCE: Pharmazie (1971), 26(8), 473-7  
CODEN: PHARAT; ISSN: 0031-7144  
DOCUMENT TYPE: Journal  
LANGUAGE: German  
OTHER SOURCE(S): CASREACT 75:118590  
IT 33642-60-3P 33642-61-4P 33642-62-5P  
33642-64-7P 33642-65-8P 33642-66-9P  
33642-67-0P 33642-68-1P 33662-29-2P  
34441-42-4P 34625-46-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 33642-60-3 CAPLUS  
CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-  
N2-benzyl diethyl ester, L- (8CI) (CA INDEX NAME)

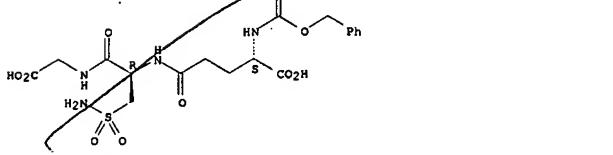
Absolute stereochemistry.



RN 33642-61-4 CAPLUS  
CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-  
N2-benzyl ester, L- (8CI) (CA INDEX NAME)

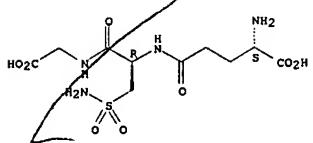
Absolute stereochemistry.

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



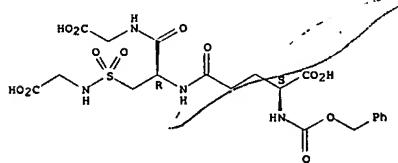
RN 33642-62-5 CAPLUS  
CN Glutamine, N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-64-7 CAPLUS  
CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-  
[(carboxymethyl)sulfamoyl]ethyl]-, N2-benzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

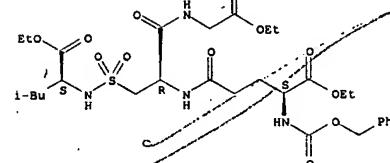


RN 33642-65-8 CAPLUS  
CN Glutamine, N2-carboxy-N-[2-[(1-carboxy-3-methylbutyl)sulfamoyl]-1-  
[(carboxymethyl)carbamoyl]ethyl]-, N2-benzyl triethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

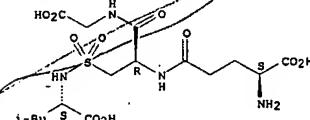
Karen Cheng

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



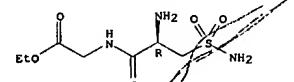
RN 33642-66-9 CAPLUS  
CN Glutamine, N-[2-[(1-carboxy-3-methylbutyl)sulfamoyl]-1-  
[(carboxymethyl)carbamoyl]ethyl]-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33642-67-0 CAPLUS  
CN Glycine, N-(3-sulfamoyl-L-alanyl)-, ethyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.

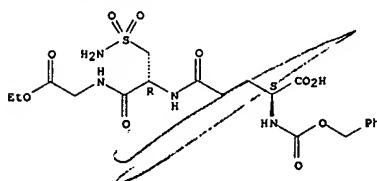


RN 33642-68-1 CAPLUS  
CN Glutamine, N2-carboxy-N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl]-, N2-benzyl monoethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

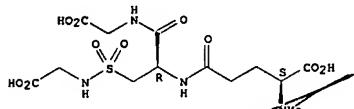
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L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



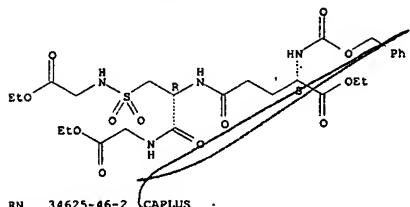
RN 33662-29-2 CAPLUS  
CN Glutamine, N-[1-[(carboxymethyl)carbamoyl]-2-[(carboxymethyl)sulfamoyl]ethyl-, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 34411-42-4 CAPLUS  
CN Glutamine, N<sub>2</sub>-benzyl-N-[1-[(carboxymethyl)carbamoyl]-2-[(carboxymethyl)sulfamoyl]ethyl-, N<sub>2</sub>-benzyl triethyl ester, L- (8CI) (CA INDEX NAME)

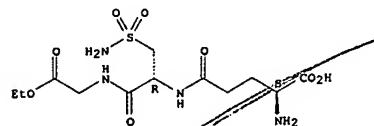
Absolute stereochemistry.



RN 34625-46-2 CAPLUS

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
CN Glutamine, N-[1-[(carboxymethyl)carbamoyl]-2-sulfamoylethyl-, monoethyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Stable glutathionesulfonyl chloride is prepared by protecting the amino and carboxyl groups with carbobenzoxy chloride and EtOH, and the sulfonyl chloride is condensed with NH<sub>3</sub> and Et esters of glycine and L-leucine to prepare sulfonamides. L-γ-Glutaminylsulfamidocysteinylglycine is also prepared from 2-amino-2-carboxyethanesulfonamide by the azide method.

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1971-498800 CAPLUS

DOCUMENT NUMBER: 75:98800

TITLE: Synthesis of sulfonamide derivatives of L-cysteinylglycine  
AUTHOR(S): Stoev, S. B.; Alekseev, B. V.  
CORPORATE SOURCE: Higher Chem.-Technol. Inst., Sofia, Bulg.  
SOURCE: Doklady Bolgarskoj Akademii Nauk (1971), 24(5), 617-20

DOCUMENT TYPE: CODEN: DBANAD; ISSN: 0366-8681

LANGUAGE: Journal

German

IT 32402-06-5P 32402-12-3P 33368-24-0P  
33368-26-2P 33368-27-3P 33368-28-4P  
33368-29-5P 33368-30-6P 33368-31-9P  
33368-32-0P 33368-33-1P 34610-27-0P

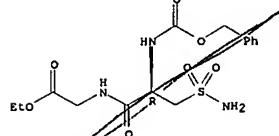
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 32402-06-5 CAPLUS

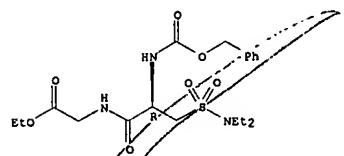
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-12-3 CAPLUS  
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

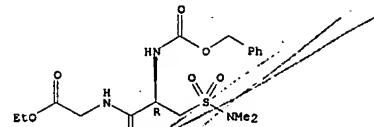
Absolute stereochemistry.



RN 33368-24-0 CAPLUS  
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

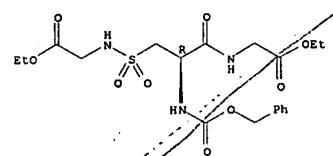
L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



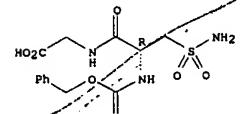
RN 33368-26-2 CAPLUS  
CN Glycine, N-[3-[(2-ethoxy-2-oxoethyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-27-3 CAPLUS  
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

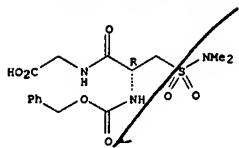
Absolute stereochemistry.



RN 33368-28-4 CAPLUS  
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

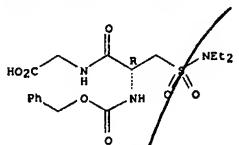
Absolute stereochemistry.

Karen Cheng



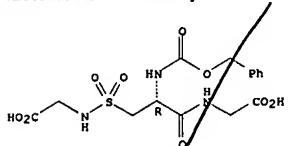
RN 33368-29-5 CAPLUS  
CN Glycine, N-[3-[(diethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 33368-30-8 CAPLUS  
CN Glycine, N-[3-[(carboxymethyl)amino]sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

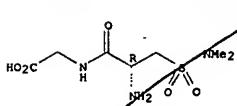
Absolute stereochemistry.



RN 33368-31-9 CAPLUS  
CN Glycine, N-[3-[(dimethylamino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

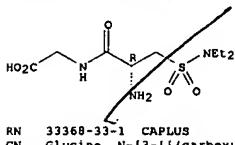
Absolute stereochemistry.

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
HNRR<sub>1</sub>, and the protective groups were removed. Yields of the sulfonamides were 73.7-78.2%. Yields of the other steps varied from 57.6 to 82.5%. I was prep'd. by the method of C. R. Harrington and T. H. Head (1935).



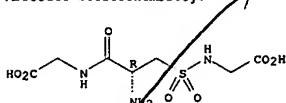
RN 33368-32-0 CAPLUS  
CN Glycine, N-[3-[(diethylamino)sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



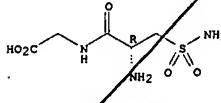
RN 33368-33-1 CAPLUS  
CN Glycine, N-[3-[(carboxymethyl)amino]sulfonyl]-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 34610-27-0 CAPLUS  
CN Glycine, N-[3-(aminosulfonyl)-L-alanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB The cysteinylglycine sulfonamides HO<sub>2</sub>CCH<sub>2</sub>NHCOCH(NH<sub>2</sub>)CH<sub>2</sub>SO<sub>2</sub>NR<sub>1</sub> (R = R<sub>1</sub> = H, Me, Et; R = H, R<sub>1</sub> = CH<sub>2</sub>CO<sub>2</sub>H) were prepared from di-Et bis(benzoxy carbonyl)-L-cystinyl-bis-glycinate (I). Chlorination of I gave 80% EtO<sub>2</sub>CCH<sub>2</sub>NHCOCH(NHO<sub>2</sub>CCH<sub>2</sub>Ph)CH<sub>2</sub>SO<sub>2</sub>Cl, which was treated with the amines

ACCESSION NUMBER: 1971:406302 CAPLUS

DOCUMENT NUMBER: 75:6302

TITLE: Reaction of sulfur-containing amino carboxylic acids, peptides, and protein with chlorine. 5. Synthesis of 2-amino-2-carboxyethanesulfonamide-containing peptides

AUTHOR(S): Aleksiev, Boris V.; Stoev, S.; Kostov, M.

CORPORATE SOURCE: Inst. Chem. Technol., Sofia, Bulg.

SOURCE: Pharmazie (1971), 26(1), 18-21

CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 75:6302

IT 32402-02-1P 32402-03-2P 32402-04-3P

32402-05-4P 32402-06-5P 32402-12-3P

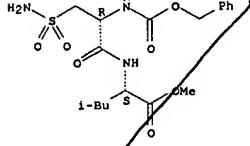
RL: SRN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 32402-02-1 CAPLUS

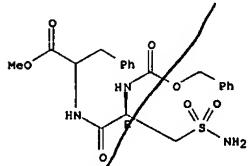
CN Leucine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-03-2 CAPLUS  
CN Alanine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-3-phenyl-, N-benzyl methyl ester, DL- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

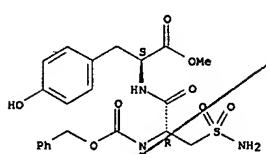


RN 32402-04-3 CAPLUS  
CN Tyrosine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester, L-

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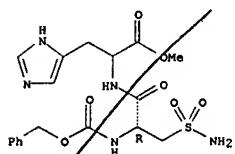
L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
(BCI) (CA INDEX NAME)

Absolute stereochemistry.



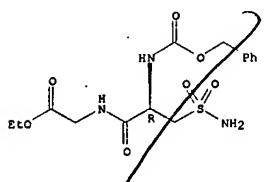
RN 32402-05-4 CAPLUS  
CN Histidine, N-(N-carboxy-3-sulfamoyl-L-alanyl)-, N-benzyl methyl ester,  
DL- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 32402-06-5 CAPLUS  
CN Glycine, N-[3-(aminosulfonyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

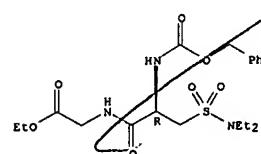
Absolute stereochemistry.



L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)  
(Continued)

RN 32402-12-3 CAPLUS  
CN Glycine, N-[3-[(diethylamino)sulfonyl]-N-[(phenylmethoxy)carbonyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB QN3(Q = H<sub>2</sub>NO<sub>2</sub>SCH<sub>2</sub>CH(NH<sub>2</sub>)CO where Z = CO<sub>2</sub>CH<sub>2</sub>Ph) was prepared by successive treatment of QOBt with N<sub>2</sub>H<sub>4</sub> and HNO<sub>2</sub>, and coupling with H<sub>2</sub>NCHR<sub>2</sub>CO<sub>2</sub>Me (R = H, Me<sub>2</sub>CHCH<sub>2</sub>, PhCH<sub>2</sub>, p-HOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, or 4-imidazolyl) and H<sub>2</sub>NCH<sub>2</sub>(CONHCH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>Me to give the corresponding QNHCHR<sub>2</sub>CO<sub>2</sub>Me (I) and QNHCH<sub>2</sub>(CONHCH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>Me, resp. Et<sub>2</sub>NO<sub>2</sub>SCH<sub>2</sub>CH(NH<sub>2</sub>)CONHCH<sub>2</sub>CO<sub>2</sub>Me was similarly prepared. QNHCH<sub>2</sub>CONHCH<sub>2</sub>CO<sub>2</sub>Me was also converted into Q(NHCH<sub>2</sub>CO)<sub>2</sub>NHCH(CO<sub>2</sub>Me)CH<sub>2</sub>Ph and QNHCH<sub>2</sub>CONHCH(CO<sub>2</sub>Me)CH<sub>2</sub>CHMe<sub>2</sub>.